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=> d his

(FILE 'HOME' ENTERED AT 15:02:54 ON 23 JUN 2004)

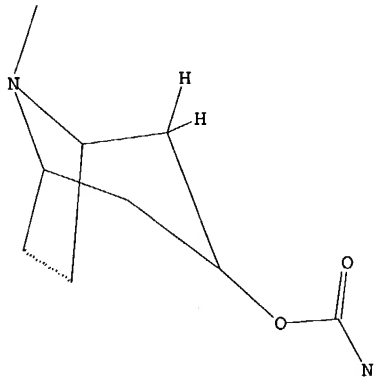
FILE 'REGISTRY' ENTERED AT 15:03:12 ON 23 JUN 2004
L1 STRUCTURE UPLOADED
L2 7 S L1
L3 188 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:58 ON 23 JUN 2004
L4 30 S L3

FILE 'MARPAT' ENTERED AT 15:19:30 ON 23 JUN 2004
L5 4 S L3
L6 24 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:19:58 ON 23 JUN 2004
L7 24 S L6
L8 16 S L7 NOT L4

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1

G2 Me,Et,F

Structure attributes must be viewed using STN Express query preparation.

=> d 1-16 bib abs

L8 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:401878 CAPLUS
DN **138:401765**
TI Nitrogen-containing cyclic compounds and CCR3 inhibitors containing them
IN Takahashi, Toshiya; Imaoka, Takayuki; Kaneeda, Maasatsu; Kaneko, Masayuki; Funahashi, Miyuki; Koshono, Hideki; Morihira, Koichiro; Inami, Hiroshi; Kubota, Koichi; Hokata, Tatsuaki; Takeuchi, Makoto
PA Toray Industries, Inc., Japan; Yamanouchi Pharmaceutical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003155285	A2	20030527	JP 2001-353369	20011119

PRAI JP 2001-353369 20011119
OS MARPAT 138:401765
GI

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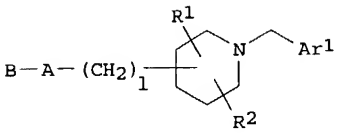


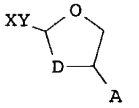
AB The title compds. I [l = 0-2; R1, R2 = H, C1-3 alkyl; R1 and R2 may be bonded together to form 1-4-membered ring; A = NR3CONR4, NR3CO, ONR3, CO2, CO, OCO, OCONR3, NR3CO2, NR3, O, SO2NH, etc., R3 = H, C1-3 alkyl; Ar1 = (un)substituted aryl, (un)substituted heterocyclyl; B = DVAr2; D = saturated 7-membered ring containing 2 N atoms; Ar2 = (un)substituted aryl, (un)substituted heterocyclyl, (un)substituted cycloalkyl; V = direct bond, CO, NR3CO, etc.] or their pharmacol. acceptable salts are claimed. CCR3 inhibitors containing I or their salts are also claimed. I and their salts are useful for treatment of allergic diseases due to infiltration of lymphocytes, eosinophils, basophils, etc., e.g. asthma, allergic rhinitis, allergic conjunctivitis, atopic dermatitis, chronic sinusitis, ulcerative colitis, Crohn's disease, etc. IC50 of N-[exo-8-[(6-fluoronaphthalen-2-yl)methyl]-8-azabicyclo[3.2.1]octan-3-yl]-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]decan-8-ylcarboxamide (preparation given) on increase in intracellular Ca concentration in human B300-19 cells expressing CCR3 was 0.07 μM.

L8 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:154153 CAPLUS
DN **138:200330**
TI Agonists and antagonists of 5-HT3-like receptors of invertebrates as pesticides
IN Trowell, Stephen Charles; Saubern, Simon; Liao, Chunyan
PA Commonwealth Scientific and Industrial Research Organisation, Australia
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

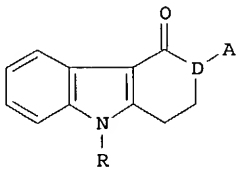
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003015517	A1	20030227	WO 2002-AU1096	20020814
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1423006	A1	20040602	EP 2002-753925	20020814
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRAI	AU 2001-7011	A	20010814		
	WO 2002-AU1096	W	20020814		

OS MARPAT 138:200330
GI

XYCOZA I



II



III

AB The present invention provides compns. and methods for controlling an helminth or arthropod pest. In a preferred embodiment of the invention provided herein, the compns. comprise one of the compds. I, II, and III (X

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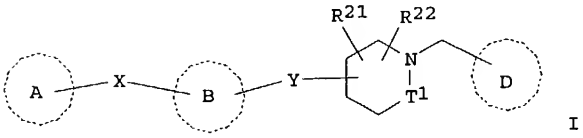
= (un)substituted cyclic ring; Y = (un)substituted alkyl, (un)substituted alkoxy, (un)interrupted by heteroatoms; D = C, CH, CH2, O, and N; R = H, alkyl), which alter the 5-HT3 receptor of the pest. Also claimed are various esters of N-Me 8-azabicyclo[3.2.1]octan-3-ol (tropan-3-yl esters) and an assay for identifying and/or assessing a helminth and/or arthropod control compound by determining the ability of a candidate compound to modulate the activity of a helminth or arthropod 5-HT3 receptor.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

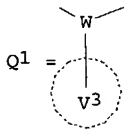
L8 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:171853 CAPLUS
DN **136:232201**
TI Preparation of cyclic amine derivatives as CCR3 antagonists
IN Morihira, Koichiro; Inami, Hiroshi; Kubota, Hirokazu; Yokoyama, Kazuhiro; Morokata, Tatsuaki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka, Takayuki; Torii, Yuichi; Iura, Yosuke
PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018335	A1	20020307	WO 2001-JP7321	20010827
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001080187	A5	20020313	AU 2001-80187	20010827
PRAI	JP 2000-257451	A	20000828		
	WO 2001-JP7321	W	20010827		

OS MARPAT 136:232201
GI



I



AB The title compds. I [ring A = (un)substituted heterocyclic ring, etc.; X = bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, N; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)n; n = 0 - 2; ring D = (un)substituted aryl, etc.] are prepared In an in vitro test (for CCR3 antagonism) using cells, compds. of this invention showed IC50 values of 0.001 μM to 0.45 μM.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:798091 CAPLUS
DN **135:340961**
TI Sigma-2 receptors as biomarkers of tumor cell proliferation
IN Mach, Robert H.; Wheeler, Kenneth T.
PA Wake Forest University, USA

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SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001080905	A2	20011101	WO 2001-US13583	20010427
	WO 2001080905	A3	20020530		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1278745	A2	20030129	EP 2001-928932	20010427
	EP 1278745	B1	20031217		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 6669925	B1	20031230	US 2001-844263	20010427
	AT 256682	E	20040115	AT 2001-928932	20010427
PRAI	US 2000-200052P	P	20000427		
	WO 2001-US13583	W	20010427		

OS MARPAT 135:340961
AB The present invention provides novel sigma-2 ligands (labeled and unlabeled) and the use of the compds. in medical therapy or diagnosis. Compds. of the present invention can provide detectably labeled ligands that can selectively bind to carrier cells and can be quantified by using functional imaging techniques such as PET and SPECT. With these compds. the proliferative status of known or suspected tumor cells can be noninvasively assessed. Radiolabeled compds. of the present invention can also be used to treat cancer or abnormally dividing cells. Illustrative pharmaceutical dosage forms which may be obtained by conventional procedures are presented.

L8 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:338355 CAPLUS
DN **134:340509**
TI Preparation of 8-azabicyclo[3.2.1]octane NMDA/NR2B antagonists
IN Thompson, Wayne; Claremon, David A.; Munson, Peter M.; Phillips, Brian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032179	A1	20010510	WO 2000-US29479	20001026
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6432976	B1	20020813	US 2000-696503	20001025
	EP 1244450	A1	20021002	EP 2000-979131	20001026
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003513044	T2	20030408	JP 2001-534384	20001026
PRAI	US 1999-162718P	P	19991029		
	WO 2000-US29479	W	20001026		

OS MARPAT 134:340509
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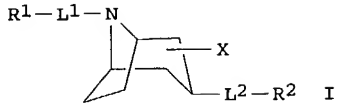

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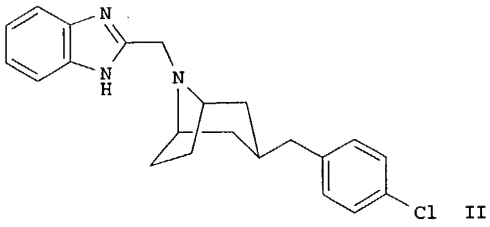

II

AB The title compds., commonly known as tropanes, (I) [wherein R1 = (un)substituted 2-benzimidazole, imidazole, imidazopyridine, indole, quinazoline, purine, benzoxazolone, or phenol; R2 = Ph, optionally substituted with 1-5 substituents selected from Cl, F, Br, alkyl, CF3, OH, or CO2H; L1 and L2 = independently (cyclo)alkyl, alkenyl, alkynyl, alkoxy, aminoalkyl, hydroxyalkyl, or (amino)carbonyl; X = OH, NH2, (di)alkylamino, alkyl, ester, carbamate, carbonate, or ether] were prepared as effective NMDA NR2B glutamate receptor antagonists. For example, addition of di-Et 4-chlorobenzylphosphonate to N-carbethoxy-4-tropinone to give the benzylidene, reduction using Pt/C, N-deprotection using HBr in AcOH, and reductive addition of 1-(trimethylsilylethoxymethyl)-1H-benzimidazole-2-carbaldehyde (2-step preparation given) using NaBH(OAc)3 in ClCH2CH2Cl afforded exo-II. Exptl. protocols for assessing the inhibition of NR1A/2B NMDA receptor activation (FLIPR assay) and determining the apparent dissociation consts. against the human NR1A/NR2B receptor (binding assay) are given (no data). I are useful for relieving pain and treating migraine, depression, anxiety, schizophrenia, Parkinson's disease, or stroke (no data).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:31304 CAPLUS
DN **128:88789**
TI Preparation of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives
IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PA Klinge Pharma G.m.b.H., Germany
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9748696	A1	19971224	WO 1997-EP3245	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624659	A1	19980108	DE 1996-19624659	19960620
ZA 9705437	A	19980210	ZA 1997-5437	19970619
CA 2257448	AA	19971224	CA 1997-2257448	19970620
AU 9732625	A1	19980107	AU 1997-32625	19970620
AU 736206	B2	20010726		
EP 923570	A1	19990623	EP 1997-928261	19970620
EP 923570	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709823	A	19990810	BR 1997-9823	19970620
CN 1228777	A	19990915	CN 1997-197424	19970620
JP 2000516913	T2	20001219	JP 1998-502318	19970620

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	AT 224888	E	20021015	AT 1997-928261	19970620
	PT 923570	T	20021231	PT 1997-928261	19970620
	ES 2179351	T3	20030116	ES 1997-928261	19970620
	RU 2200734	C2	20030320	RU 1999-101069	19970620
	CZ 291791	B6	20030514	CZ 1998-4093	19970620
	KR 2000022333	A	20000425	KR 1998-710756	19981221
	HK 1021974	A1	20030620	HK 1999-106092	19991223
	US 2003162972	A1	20030828	US 2002-213952	20020805
PRAI	DE 1996-19624659	A	19960620		
	WO 1997-EP3245	W	19970620		
	US 1999-242540	B1	19990218		

OS MARPAT 128:88789
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D = (un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p ≤ 4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, especially a C1-3 alkylene bridge); G = H, SO2(CH2)rR12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF3, C1-6 alkoxy, PhCH2O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepared and formulated. Thus, reaction of N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et3N in CH2Cl2 afforded 60% IV which showed IC50 of 0.001 μM against HepG2 cells growth.

L8 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:31303 CAPLUS
DN **128:88788**
TI Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants
IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PA Klinge Pharma G.m.b.H., Germany
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748695	A1	19971224	WO 1997-EP3243	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19624704	A1	19980108	DE 1996-19624704	19960620
	ZA 9705439	A	19980223	ZA 1997-5439	19970619
	AU 9733420	A1	19980107	AU 1997-33420	19970620
	EP 934309	A1	19990811	EP 1997-929240	19970620
	EP 934309	B1	20020911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000512651	T2	20000926	JP 1998-502316	19970620
	AT 223912	E	20020915	AT 1997-929240	19970620
	PT 934309	T	20021231	PT 1997-929240	19970620
	ES 2178779	T3	20030101	ES 1997-929240	19970620
	US 6444823	B1	20020903	US 1998-216075	19981218
	US 2004009967	A1	20040115	US 2002-208656	20020730
PRAI	DE 1996-19624704	A	19960620		
	WO 1997-EP3243	W	19970620		
	US 1998-216075	A1	19981218		

OS MARPAT 128:88788
AB R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13,R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3,

alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prepared Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.

L8 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:28656 CAPLUS
DN **128:102008**
TI Preparation and formulation of pyridine derivatives as antitumor agents and immunosuppressants
IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PA Klinge Pharma G.m.b.H., Germany
SO PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748397	A1	19971224	WO 1997-EP3244	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19624668	A1	19980219	DE 1996-19624668	19960620
	ZA 9705443	A	19980210	ZA 1997-5443	19970619
	AU 9732624	A1	19980107	AU 1997-32624	19970620
	EP 912176	A1	19990506	EP 1997-928260	19970620
	EP 912176	B1	20020925		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000512652	T2	20000926	JP 1998-502317	19970620
	AT 224713	E	20021015	AT 1997-928260	19970620
	PT 912176	T	20030131	PT 1997-928260	19970620
	ES 2181006	T3	20030216	ES 1997-928260	19970620
	US 6451816	B1	20020917	US 1998-216482	19981218
	US 2004029861	A1	20040212	US 2002-208253	20020730
PRAI	DE 1996-19624668	A	19960620		
	WO 1997-EP3244	W	19970620		
	US 1998-216482	A1	19981218		

OS MARPAT 128:102008
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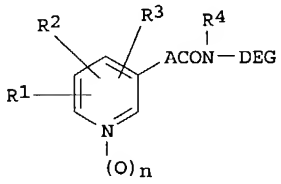

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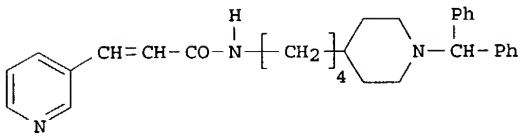


II

AB The title compound I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepared The title compound

II in vitro showed IC50 of 0.008 μM against the WERI-Rb-1 retinoblastoma cells.

L8 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:401334 CAPLUS
DN **122:170224**
TI Topical pharmaceuticals containing 5-HT3 antagonists for treatment of peripheral disorders associated with pain
IN Danjou, Philippe
PA American Home Products Corp., USA
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

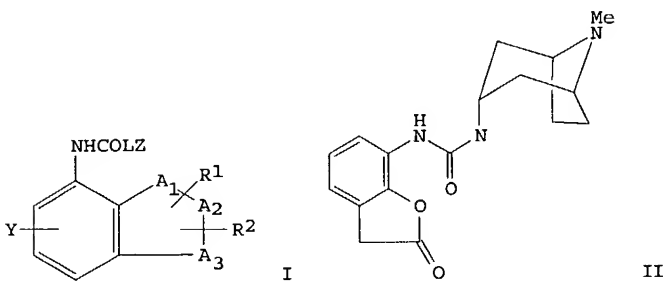
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9501793	A2	19950119	WO 1994-US7488	19940706
	WO 9501793	A3	19950330		
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9472544	A1	19950206	AU 1994-72544	19940706
PRAI	GB 1993-14174		19930708		
	WO 1994-US7488		19940706		

OS MARPAT 122:170224
AB Topical pharmaceuticals containing 5-HT3 antagonists (Markush structure given) are useful for treatment of peripheral disorders associated with pain. An oil emulsion contained cetyl palmitate 11, white beeswax 12, liquid paraffin 61, EDTA 0.1, water 10.9 g, (endo)-1-cyclohexyl-N-(8-methyl-azabicyclo[3.2.1]octan-3-yl)-4(1H)oxo-quinoline-3-carboxamide maleate (I) 95 mg. Topical pretreatment with a cream containing 10% I inhibited the increased blood flow response to 5HT by 60% at 30 min.

L8 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:517282 CAPLUS
DN **119:117282**
TI N-aryl-N'-(azabicycloalkyl)ureas as 5-HT3 antagonists
IN King, Francis David; Gaster, Laramie Mary
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9308185	A1	19930429	WO 1992-GB1876	19921013
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	AU 9227598	A1	19930521	AU 1992-27598	19921013
PRAI	GB 1991-21835		19911015		
	WO 1992-GB1876		19921013		

OS MARPAT 119:117282
GI



I II

AB The title compds. I (A1, A2, A3 and the carbon atoms to which they are

attached form 5- or 6-membered heterocyclic rings; R1, R2 = H, alkyl; L = O, NH; Z = azabicyclic side chain; Y = H, alkyl, alkoxy) are claimed as 5-HT3 receptor antagonists. The use of I as antiemetics, analgesics, for the treatment of central nervous system disorders and/or gastrointestinal disorders is claimed. Condensation of 7-aminophthalide with endo-8-methyl-8-azabicyclo[3.2.1]octaN-3-amine gave N-(endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-N'-(7-phthalidyl)urea (II). II antagonized the 5-HT-induced Bezold-Jarisch reflex in rats with an ED50 of 10 μg/kg.

L8 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:550389 CAPLUS
DN **115:150389**
TI 5-HT3 antagonists for treatment of nausea, bradycardia or hypotension associated with myocardial instability
IN Johnson, Edward Stewart; Hamilton, Thomas Conway
PA Beecham Group PLC, UK
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9109593	A2	19910711	WO 1990-GB1996	19901220
	WO 9109593	A3	19910905		
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	ZA 9010219	A	19911127	ZA 1990-10219	19901219
	CA 2071994	AA	19910622	CA 1990-2071994	19901220
	AU 9170516	A1	19910724	AU 1991-70516	19901220
	EP 506813	A1	19921007	EP 1991-901843	19901220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05502872	T2	19930520	JP 1991-502103	19901220
PRAI	GB 1989-28837		19891221		
	WO 1990-GB1996		19901220		

OS MARPAT 115:150389
AB 5-HT3 receptor antagonists (Markush given) such as MDL 72222, ICS 205-930, granisetron, PU 46470A, and ondansetron, are effective for treatment and prevention of nausea, bradycardia, and hypotension associated with myocardial instability. The 5-HT3, receptor antagonists may be administered orally, parenterally, or topically.

L8 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:172336 CAPLUS
DN **112:172336**
TI 5-Hydroxytryptamine receptor antagonists for treatment of cough and bronchoconstriction
IN Williams, Andrew James
PA Beecham Group PLC, UK
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8904660	A1	19890601	WO 1988-GB994	19881114
	W: AU, DK, JP, KR, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8826264	A1	19890614	AU 1988-26264	19881114
	AU 616706	B2	19911107		
	EP 340270	A1	19891108	EP 1988-909596	19881114
	EP 340270	B1	19920715		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02502185	T2	19900719	JP 1988-508864	19881114
	AT 78162	E	19920815	AT 1988-909596	19881114
	US 5098909	A	19920324	US 1989-381666	19890710
	DK 8903458	A	19890712	DK 1989-3458	19890712
PRAI	GB 1987-26716		19871114		
	GB 1987-26717		19871114		
	EP 1988-909596		19881114		
	WO 1988-GB994		19881114		

OS MARPAT 112:172336
GI

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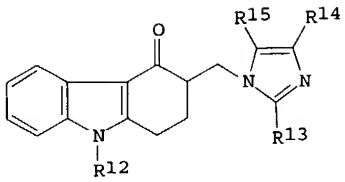


I

AB A method for treatment of cough and/or bronchoconstriction in mammals, including humans, comprises administration of an effective amount of a 5-HT3 (HT is hydroxytryptamine) receptor antagonist. Pharmaceutical compns. containing the above antagonist and a pharmaceutically acceptable carrier are claimed. The antagonist is XC(O)YZ [X = (un)substituted N-containing heterocyclyl, (un)substituted o-hydroxyaniline, (un)substituted Ph; Y = NH, O; Z = (un)substituted N-containing bicycloalkyl] or I [R12 = H, C1-10 alkyl, C3-7 cycloalkyl, Ph, etc.; 1 of R13-15 is H, C1-6 alkyl, C3-7 cycloalkyl, C2-6 alkenyl, or Ph-C1-3 alkyl and each of the other R13-15 = H, C1-6 alkyl]. Thus, N-(endo-9-methyl-9-azabicyclo-[3.3.1]non-3-yl)-1-methylindazole-3-carboxamide-HCl, administered i.v. at doses ≤60 μg/kg, blocked capsaicin-induced cough and capsaicin- or SO2-induced bronchoconstriction.

L8 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:412522 CAPLUS
DN **111:12522**
TI Pharmaceuticals containing nitrogen-containing heterocyclic compounds for the treatment of dementia and cognitive disorders
IN Tyers, Michael Brian
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 279990	A2	19880831	EP 1987-311079	19871216
	EP 279990	A3	19901128		
	EP 279990	B1	19950712		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 63277623	A2	19881115	JP 1987-318458	19871216
	US 4985437	A	19910115	US 1987-133885	19871216
	EP 551963	A2	19930721	EP 1993-200775	19871216
	EP 551963	A3	19930901		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	EP 559297	A1	19930908	EP 1993-201171	19871216
	EP 559297	B1	19970507		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ES 2074981	T3	19951001	ES 1987-311079	19871216
	AT 152623	E	19970515	AT 1993-201171	19871216
	US 5190954	A	19930302	US 1989-424736	19891020
	US 5200414	A	19930406	US 1992-919255	19920727
	US 5244909	A	19930914	US 1992-990765	19921215
PRAI	GB 1986-30074		19861217		
	GB 1986-30076		19861217		
	GB 1986-30077		19861217		
	GB 1987-7175		19870325		
	US 1987-133885		19871216		
	US 1989-424736		19891020		
	US 1992-919255		19920727		

OS MARPAT 111:12522
AB Pharmaceuticals for the treatment of dementia or cognitive disorders contain an active agent selected from N-containing heterocyclic compds. such as (3α-tropanyl)-1H-indole-3-carboxylic acid ester (I) or its salts, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indol-3-yl)-1-propanone, 1-αH,3α,5αH-tropan-3-yl-3,5-dimethylbenzoate, or endo-N-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-1-methylindazole-3-carboxamide. Common marmosets were tested for their performance in a discriminative learning task and reverse learning task using a Wisconsin General Test apparatus After treatment with 10 ng/kg I twice daily, their performance in the reverse learning task improved.

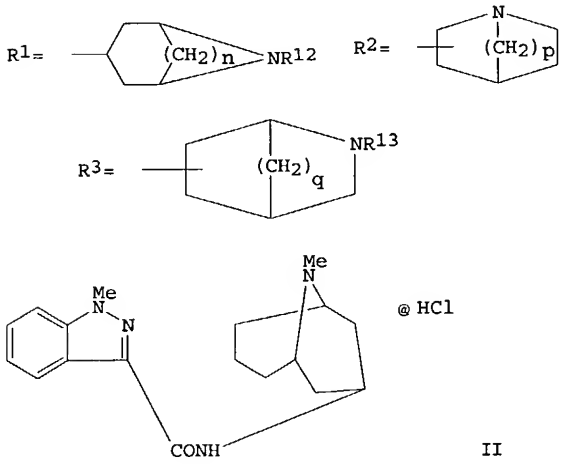
L8 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:400738 CAPLUS

10718403

DN **111:738**
TI Use of certain 5HT3 receptor antagonists in the treatment of visceral pain
IN Sanger, Gareth John; Marr, Helen Elizabeth
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 279512	A2	19880824	EP 1988-300376	19880118
	EP 279512	A3	19920916		
	EP 279512	B1	19960327		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8800266	A	19881130	ZA 1988-266	19880115
	DK 8800203	A	19880720	DK 1988-203	19880118
	AU 8810355	A1	19880721	AU 1988-10355	19880118
	AU 608276	B2	19910328		
	JP 63215627	A2	19880908	JP 1988-8215	19880118
	JP 2584266	B2	19970226		
	AT 135911	E	19960415	AT 1988-300376	19880118
	ES 2085259	T3	19960601	ES 1988-300376	19880118
	US 4845092	A	19890704	US 1988-145537	19880119
	US 4942160	A	19900717	US 1989-348051	19890505
	US 5063231	A	19911105	US 1990-520108	19900507
PRAI	GB 1987-1022		19870119		
	US 1988-145537		19880119		
	US 1989-348051		19890502		

OS MARPAT 111:738
GI



II

AB 5HT3 receptor antagonists XCOYZ (I; X = (substituted) indole, indazole, dihydroindole, indolizine, phenylamino, phenyl; Y = NH, O; Z = R1, R2, R3; n = 2, 3; p, q = 1-3; R12, R13 = Me, Et) or their pharmaceutically acceptable salts are used to manufacture a drug for the treatment of visceral pain. The drugs may be used for treatment of pain due to e.g. irritable bowel syndrome. Twenty minutes after administration at 10 μg/kg i.v. in rats, azabicyclononylindazolylcarboxamide II inhibited the fall in blood pressure due to duodenal distension by 56 ± 8%, and inhibited the fall in intragastric pressure due to the same cause by 74 ± 14%.

L8 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:101830 CAPLUS
DN **110:101830**
TI Use of 5-hydroxytryptamine antagonist heterocyclic derivatives in the treatment of depressions
IN Tyers, Michael Brian
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent

10718403

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 278173	A2	19880817	EP 1987-311077	19871216
	EP 278173	A3	19891018		
	EP 278173	B1	19931103		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 63277622	A2	19881115	JP 1987-318457	19871216
	US 4973594	A	19901127	US 1987-133896	19871216
	AT 96667	E	19931115	AT 1987-311077	19871216
	US 5071854	A	19911210	US 1989-426860	19891026
	US 5246941	A	19930921	US 1993-5125	19930115
	US 5420139	A	19950530	US 1993-106628	19930816
	US 6221878	B1	20010424	US 1995-402529	19950310
PRAI	GB 1986-30070	A	19861217		
	GB 1986-30072	A	19861217		
	GB 1986-30073	A	19861217		
	GB 1987-7174	A	19870325		
	GB 1987-28140	A	19871202		
	EP 1987-311077	A	19871216		
	US 1987-133896	A3	19871216		
	US 1990-522321	B1	19900511		
	US 1991-723264	B1	19910628		
	US 1992-912337	A3	19920713		
	US 1993-5125	A1	19930115		
	US 1993-106628	A1	19930816		

OS MARPAT 110:101830
AB Antagonists of 5-HT, at 5-HT3 receptors, are drugs for the treatment of depression (no data). These include azabicycloalkyl indolecarboxylates, N-azabicycloalkylamides, imidazole derivs., indole derivs., carbazole derivs, 1αH,3α,5αH-tropan-3-yl benzoates, etc. A tablet contained 3α-tropanyl 1H-indole-3-carboxylate 0.50, CaHPO4 87.25, Croscarmellose Na 1.8, Mg stearate 0.45 mg.

L8 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:473337 CAPLUS
DN **109:73337**
TI Preparation and formulation of azabicyclooctylheterocyclylureas as 5-HT antagonists
IN King, Francis David
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 255297	A2	19880203	EP 1987-306545	19870724
	EP 255297	A3	19890510		
	EP 255297	B1	19930421		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 63041477	A2	19880222	JP 1987-191480	19870730
	US 4808588	A	19890228	US 1987-80436	19870730
PRAI	GB 1986-18700		19860731		
	GB 1986-27072		19861112		
	GB 1987-3813		19870218		

OS MARPAT 109:73337
GI For diagram(s), see printed CA Issue.
AB Title compds. I, II, III [Het = monocyclic heteroaryl; R1, R2 = H, halo, F3C, C1-6 alkyl, -alkoxy; R3 = HO, C1-6 alkoxy, C3-7 alkenylmethoxy, (un)substituted Ph, -PhO, R6O2C; R6 = H, C1-6 alkyl, R8R7NCO, R8R7NO2S; R7, R8 = H, C1-6 alkyl; R7R8 = C4-6 polymethylene, O2N, etc.; L = HN, O; n = 2,3; p = 1,2; q, r = 1-3; R4, R5 = C1-4 alkyl] and their pharmaceutically acceptable salts, were prepared as 5-HT antagonists. 3-Methoxythiophene-2-carboxylic acid in dry THF, Et3N, and (PhO)2PON3 were refluxed, cooled and endo-8-methyl-8-azabicyclo[3.2.1]octan-3-amine was added to give endo-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-N'-(3-methoxythiophen-2-yl)urea (IV). IV evaluated for antagonism of the von Bezold-Jarisch reflex evoked by 5-HT in the anesthetized rat had an ED50 of 1 μg/kg i.v.

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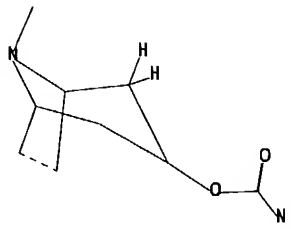

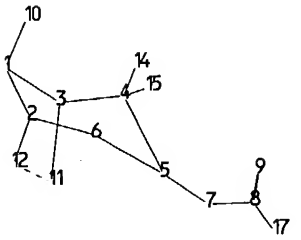

chain nodes :
7 8 9 10 14 15 17
ring nodes :
1 2 3 4 5 6 11 12
chain bonds :
1-10 4-14 4-15 5-7 7-8 8-9 8-17
ring bonds :
1-2 1-3 2-6 2-12 3-4 3-11 4-5 5-6 11-12
exact/norm bonds :
1-2 1-3 1-10 2-6 3-4 4-5 5-6 5-7 7-8 8-9 8-17 11-12
exact bonds :
2-12 3-11 4-14 4-15
isolated ring systems :
containing 1 :

G2:CH3,Et,F

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:Atom 14:CLASS 15:CLASS 17:CLASS

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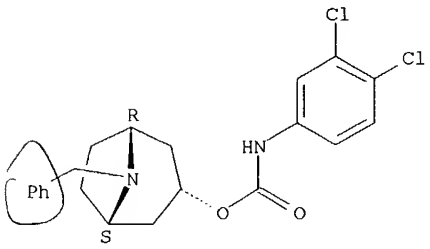
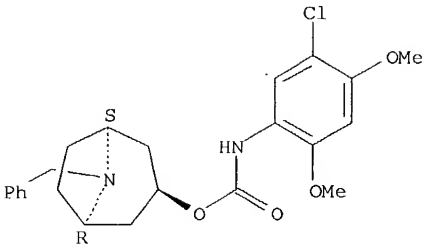
L4 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:501536 CAPLUS
DN 135:272841
TI Synthesis and sigma receptor binding affinities of 8-azabicyclo[3.2.1]octan-3α-yl and 9-azabicyclo[3.3.1]nonan-3α-yl phenylcarbamates
AU Mach, Robert H.; Yang, Biao; Wu, L.; Kuhner, Ross J.; Whirrett, Brian R.; West, Thomas
CS Departments of Radiology and Physiology & Pharmacology, Wake Forest University School of Medicine, Winston-Salem, NC, 27157, USA
SO Medicinal Chemistry Research (2001), 10(6), 339-355
CODEN: MCREEB; ISSN: 1054-2523
PB Birkhaeuser Boston
DT Journal
LA English
OS CASREACT 135:272841
AB A series of N-(8-benzyl-8-azabicyclo[3.2.1]octan-3α-yl)carbamates and N-(9-benzyl-9-azabicyclo[3.3.1]nonan-3α-yl)carbamates was prepared and their affinities for sigma (σ1 and σ2) and serotonin 5-HT3 and 5-HT4 receptors was measured in vitro. The results of this structure-activity relationship study identified a novel compound, N-(9-benzyl-9-aza-bicyclo[3.3.1]nonan-3α-yl)N'-(2-methoxy-5-methylphenyl)carbamate, having a high affinity and moderate selectivity for σ2 vs. σ1 receptors and a low affinity for 5-HT3 and 5-HT4 receptors. The results of this structure-activity relationship study should provide valuable information for the preparation of σ2-selective ligands that can be used to further characterize the functional role of this receptor in vivo.
IT **197357-00-9P 363140-09-4P 363140-10-7P**
363140-11-8P 363140-12-9P 363140-13-0P
363140-14-1P 363140-15-2P 363140-16-3P
363140-17-4P 363140-18-5P 363140-20-9P
363140-21-0P 363140-22-1P 363140-23-2P
363140-24-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, sigma receptor binding affinities, and structure-activity relationship of azabicyclooctanyl and azabicyclononanyl phenylcarbamates)
RN 197357-00-9 CAPLUS
CN Carbamic acid, (3,4-dichlorophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



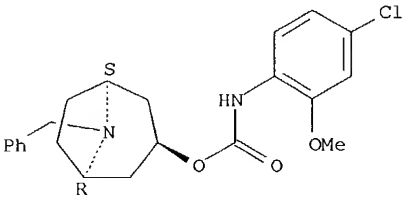
RN 363140-09-4 CAPLUS
CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



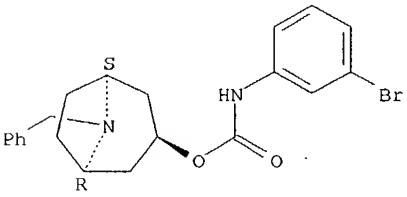
RN 363140-10-7 CAPLUS
CN Carbamic acid, (4-chloro-2-methoxyphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



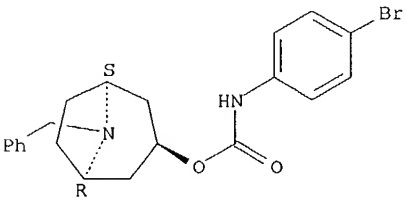
RN 363140-11-8 CAPLUS
CN Carbamic acid, (3-bromophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



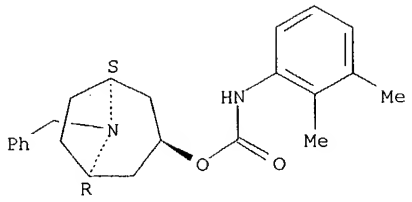
RN 363140-12-9 CAPLUS
CN Carbamic acid, (4-bromophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



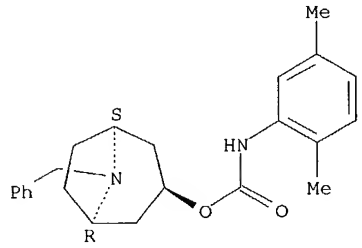
RN 363140-13-0 CAPLUS
CN Carbamic acid, (2,3-dimethylphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



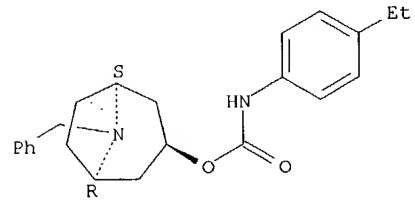
RN 363140-14-1 CAPLUS
CN Carbamic acid, (2,5-dimethylphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



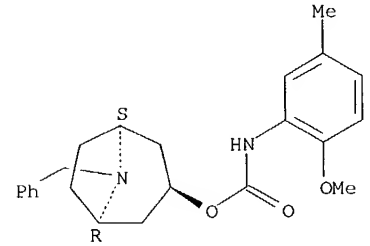
RN 363140-15-2 CAPLUS
CN Carbamic acid, (4-ethylphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



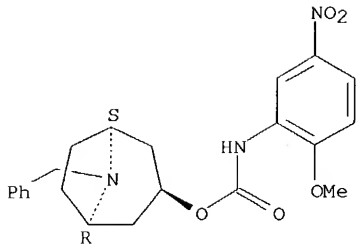
RN 363140-16-3 CAPLUS
CN Carbamic acid, (2-methoxy-5-methylphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



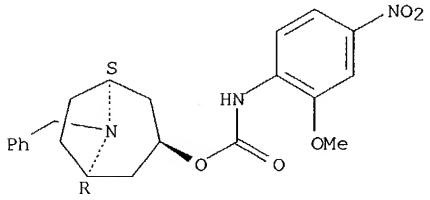
RN 363140-17-4 CAPLUS
CN Carbamic acid, (2-methoxy-5-nitrophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



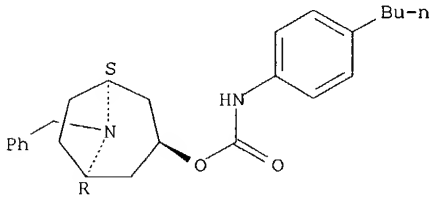
RN 363140-18-5 CAPLUS
CN Carbamic acid, (2-methoxy-4-nitrophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



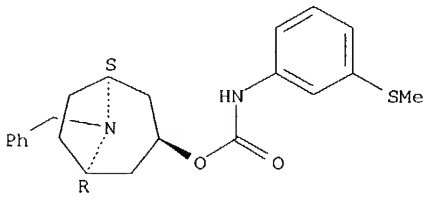
RN 363140-20-9 CAPLUS
CN Carbamic acid, (4-butylphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



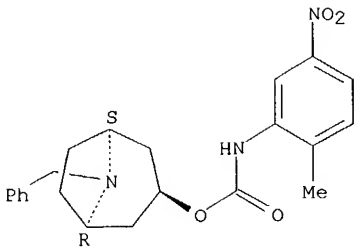
RN 363140-21-0 CAPLUS
CN Carbamic acid, [3-(methylthio)phenyl]-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



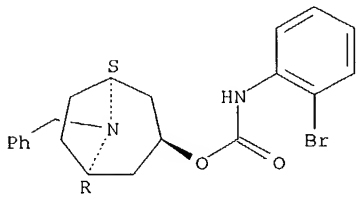
RN 363140-22-1 CAPLUS
CN Carbamic acid, (2-methyl-5-nitrophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



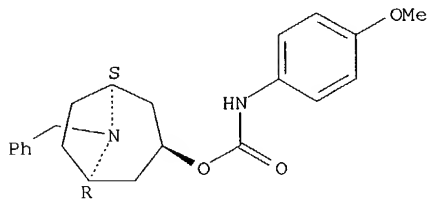
RN 363140-23-2 CAPLUS
CN Carbamic acid, (2-bromophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 363140-24-3 CAPLUS
CN Carbamic acid, (4-methoxyphenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

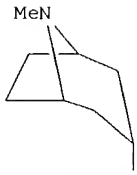
Relative stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:155171 CAPLUS
DN 134:340584
TI Parallel modification of tropane alkaloids
AU Aberle, N. S.; Ganesan, A.; Lambert, J. N.; Saubern, S.; Smith, R.
CS School of Chemistry, The University of Melbourne, Parkville, 3010, Australia
SO Tetrahedron Letters (2001), 42(10), 1975-1977
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 134:340584
GI

I



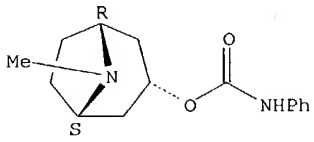
II

AB Various tropane alkaloids have been prepared by structural modification of the readily available natural product, scopolamine. Reaction of isocyanates with 6,7-dehydrotropine provided a number of urethanes, e.g. I. Reductive amination of tropinone and subsequent reaction with isocyanates provided ureas, e.g. II. Mitsunobu inversion of the C-3 alc. of tropine afforded the epimeric ester III.

IT **29364-16-7P 338388-98-0P 338388-99-1P 338389-00-7P 338389-01-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(parallel modification of tropane alkaloids)

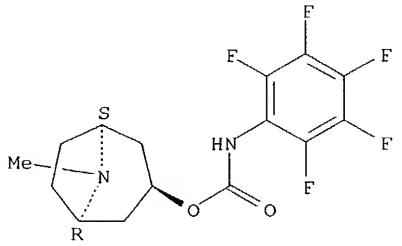
RN 29364-16-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



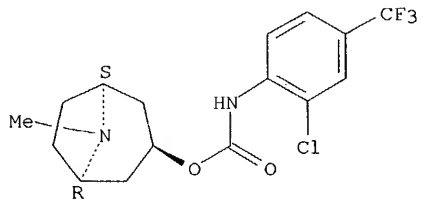
RN 338388-98-0 CAPLUS
CN Carbamic acid, (pentafluorophenyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 338388-99-1 CAPLUS
CN Carbamic acid, [2-chloro-4-(trifluoromethyl)phenyl]-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

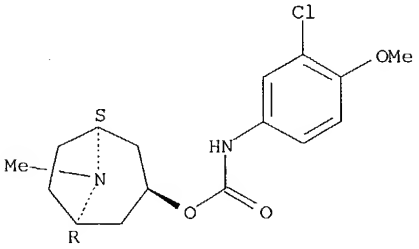
Relative stereochemistry.



10718403

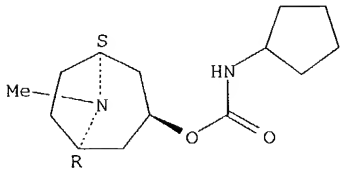
RN 338389-00-7 CAPLUS
CN Carbamic acid, (3-chloro-4-methoxyphenyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 338389-01-8 CAPLUS
CN Carbamic acid, cyclopentyl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



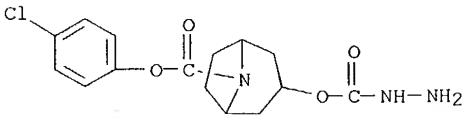
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:565911 CAPLUS
DN 131:179801
TI P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells
IN Smith, Charles
PA Fox Chase Cancer Center, USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943323	A1	19990902	WO 1999-US4439	19990226
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6248752	B1	20010619	US 1999-257829	19990225
PRAI	US 1998-76212P	P	19980227		

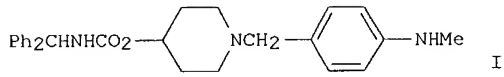
OS MARPAT 131:179801
AB Various compds., such as dihydropyridines, thiaxanthenes, phenothiazines, cyclosporines and acridonecarboxamides, effective in sensitizing drug resistant tumor cells are disclosed which are useful in cancer therapy. The compds. of the invention are ether: (1) selective inhibitors of P-glycoprotein function, (2) selective inhibitors of MRP function, or (3) dual inhibitors of both transporters. The compds. increased the toxicity of antitumor drug, e.g. actinomycin D toward P-glycoprotein-mediated multidrug resistant cells MCF-7/ADR and/or vincristine toward MRP-mediated multidrug resistant cells HL-60/ADR. Most of the compds. tested have low intrinsic cytotoxicity (<20% of cells killed by doses of 10 μg/mL).
IT **240486-48-0**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(P-glycoprotein and MRP inhibitors for chemosensitizing multidrug

resistant tumor cells)
RN 240486-48-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:558083 CAPLUS
DN 129:302534
TI Selective muscarinic antagonists. I. Synthesis and antimuscarinic properties of 4-piperidyl benzhydrylcarbamate derivatives
AU Naito, Ryo; Takeuchi, Makoto; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Shibanuma, Tadao; Isomura, Yasuo
CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan
SO Chemical & Pharmaceutical Bulletin (1998), 46(8), 1274-1285
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI

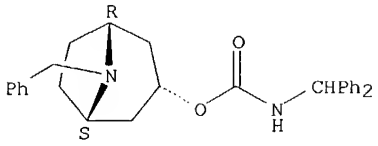


I

AB 1-Substituted 4-piperidyl benzhydrylcarbamate derivs. were synthesized and evaluated for binding affinity to M1, M2 and M3 receptors, and for antimuscarinic activities. Receptor binding assays indicated that 1-benzyl-4-piperidyl benzhydrylcarbamate derivs. showed higher affinities for M1 and M3 receptors, and good selectivities for M3 over M2 receptor, than the corresponding ester analog. These results indicate that the urethane bond is a novel linker for muscarinic antagonists, and serves to lock the mol. conformation and allows the hydrophobic portion and cationic site of the mol. to bind to M1 and M3 muscarinic receptors. Among the prepared compds., I monohydrochloride (YM-58790) exhibited potent inhibitory activity on bladder pressure in reflexly-evoked rhythmic contraction, comparable to oxybutynin, and was approx. ten times less inhibitory on oxotremorine-induced salivary secretion than oxybutynin in rats. Further evaluation of antimuscarinic effects on bradycardia and pressor in pithed rats, and on tremor in mice, demonstrated that I can be useful for treatment of urinary urge incontinence as a bladder-selective M3 antagonist with fewer side effects.
IT **168830-04-4P 168830-07-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimuscarinic properties of 4-piperidyl benzhydrylcarbamate derivs.)
RN 168830-04-4 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

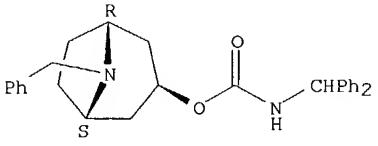
10718403



● HCl

RN 168830-07-7 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, (3-exo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



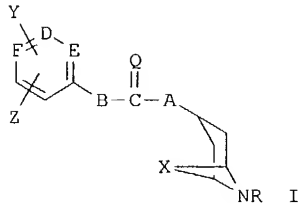
● HCl

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:640664 CAPLUS
DN 127:307305
TI Preparation of (labeled) azabicycloalkyl aryl carbamates and related compounds as σ2 receptor ligands useful as biomarkers of tumor cell proliferation
IN Mach, Robert H.; Wheeler, Kenneth T., Jr.; Yang, Biao; Childers, Steven R.
PA Wake Forest University, USA; Mach, Robert H.; Wheeler, Kenneth T., Jr.; Yang, Biao; Childers, Steven R.
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9734892	A1	19970925	WO 1997-US4403	19970319
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2249410	AA	19970925	CA 1997-2249410	19970319
	AU 9725842	A1	19971010	AU 1997-25842	19970319
	AU 724780	B2	20000928		
	EP 888345	A1	19990107	EP 1997-917552	19970319
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000506896	T2	20000606	JP 1997-533663	19970319
→	US 6113877	A	20000905	US 1998-142935	19980917
	US 6676925	B1	20040113	US 2000-528398	20000320
PRAI	US 1996-13717P	P	19960320		
	WO 1997-US4403	W	19970319		
	US 1998-142935	A1	19980917		

OS MARPAT 127:307305
GI



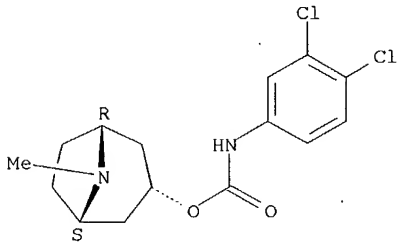
AB Title compds. (I; R = alkyl, C6F5CH2, TC6H4CH2; T = halo, MeS, MeO, NH2, H; A = NH, O, S; B = NH, O, S; Q = O, S; D, E, F = CH, N; Y, Z = H, halo, OH, alkyl, alkoxy, alkylcarbonyl, alkylthio, amino, SH; YZ = OCH2O; X = CH2CH2, (CH2)3, CH:CH), were prepared Thus, tropine hydrate and 3,4-dichlorophenyl isocyanate were refluxed 2 h in PhMe to give (endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl-3-N-(3,4-dichlorophenyl)carbamate. I bound to σ2 receptor prepns. with Ki = 16.2-156.4 nM.

IT **197356-92-6P 197356-93-7P 197356-99-3P 197357-00-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicycloalkyl aryl carbamates and related compds. as σ-2 receptor ligands useful as biomarkers of tumor cell proliferation)

RN 197356-92-6 CAPLUS

CN Carbamic acid, (3,4-dichlorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

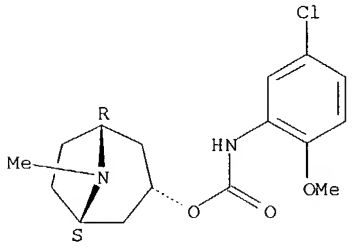
Relative stereochemistry.



RN 197356-93-7 CAPLUS

CN Carbamic acid, (5-chloro-2-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

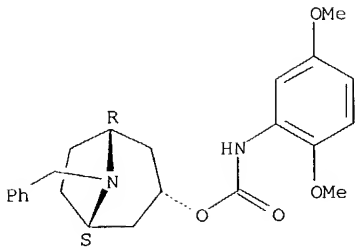


RN 197356-99-3 CAPLUS

CN Carbamic acid, (2,5-dimethoxyphenyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

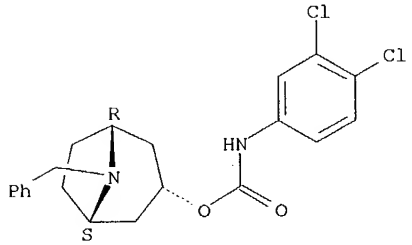
Relative stereochemistry.

10718403



RN 197357-00-9 CAPLUS
CN Carbamic acid, (3,4-dichlorophenyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

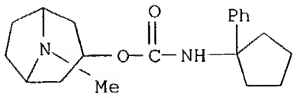


L4 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:643737 CAPLUS
DN 125:275652
TI Preparation of carbamate derivatives as selective muscarine M3 receptor antagonists
IN Takeuchi, Makoto; Naito, Makoto; Hayakawa, Masahiko; Ikeda, Masaru; Isomura, Yasuo
PA Yamanouchi Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08198751	A2	19960806	JP 1995-6142	19950119
PRAI JP 1995-6142		19950119		

OS MARPAT 125:275652
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = O, alkylene optionally interrupted by NR1; R1 = H, lower alkyl, lower alkoxycarbonyl; Y = (un)substituted phenyl; ring B = bridged and saturated N-containing heterocyclyl] and their pharmacol. acceptable salts are prepared I possessing muscarine M3 receptor antagonism are useful for prevention and treatment of urinary system, respiratory, and digestive system diseases (no data). Thus, 1-phenyl-1-cyclobutanecarboxylic acid was reacted with diphenylphosphoryl azide in the presence of Et3N and then reacted with 3-quinuclidinol to give the title compound (II).
IT **182490-20-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamate derivs. as selective muscarine M3 receptor antagonists)
RN 182490-20-6 CAPLUS
CN Carbamic acid, (1-phenylcyclopentyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)

10718403



L4 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:994203 CAPLUS
DN 124:55800
TI Preparation of novel heterocyclyl pyridyl- or phenyl(methyl)carbamate derivatives as selective antagonists for muscarine M3 receptor
IN Takeuchi, Makoto; Naito, Ryo; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Isomura, Yasuo
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9521820	A1	19950817	WO 1995-JP168	19950208
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2182568	AA	19950817	CA 1995-2182568	19950208
	AU 9515909	A1	19950829	AU 1995-15909	19950208
	AU 685225	B2	19980115		
	EP 747355	A1	19961211	EP 1995-907855	19950208
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1140447	A	19970115	CN 1995-191543	19950208
	HU 76289	A2	19970728	HU 1996-2188	19950208
PRAI	JP 1994-16829		19940210		
	JP 1994-35064		19940304		
	JP 1994-102579		19940517		
	JP 1994-221335		19940916		
	JP 1994-267412		19941031		
	WO 1995-JP168		19950208		

OS MARPAT 124:55800
GI For diagram(s), see printed CA Issue.
AB Carbamates derivs. represented by general formula [I; ring A = a benzene or pyridine ring; ring B = a saturated nitrogenous heterocycle which may be substituted on the nitrogen atom or cross-linked, i.e. Q - Q2; wherein Z = N(O)qR2, N+R3R4.A-; Z1 = N(O)q, N+R5.A-; wherein A- = anion; R2 = H, alkyl, alkenyl, alkynyl, cycloalkylalkyl, (un)substituted aralkyl, heterocyclylalkyl having 1 or 2 heteroatoms and optional substituents on the heterocyclic ring and optionally condensed on the ring; R3 = alkyl, alkenyl, alkynyl, (un)substituted aralkyl, heterocyclylalkyl having 1 or 2 heteroatoms and optional substituents on the heterocyclic ring and optionally condensed on the ring; R4 = alkyl, alkenyl, alkynyl; R5 = alkyl, alkenyl, alkynyl, aralkyl; m, n = an integer of 1-4, provided that m + n = 3-5; p = an integer of 1-3; q = 0,1; r, s, t = an integer of 0-3, provided that r + s + t = 2 or 3; wherein R1 = optionally substituted Ph, C3-8 cycloalkyl or cycloalkenyl, or 5- or 6-membered nitrogenous heterocyclic group; X = a single bond or CH2; Y = a single bond, CO, optionally hydroxylated methylene, or -S(O)l; wherein l = an integer of 0, 1 or 2], salts, hydrates, or solvates thereof, useful for the treatment of prevention of digestive, respiratory or urol. diseases, are prepared In particular, a remedy or preventive for chronic obstructive lung diseases, chronic bronchitis, asthma, rhinitis, nervous pollakiurea (frequent urination), nervous bladder, nocturnal enuresis, unstable bladder, bladder contracture, chronic cystitis, urinary incontinence, pollakiurea (frequent urination), irritable bowel syndrome, spasmodic colitis, or diverticulitis which is related to muscarine M3 receptor contains the said carbamate I as the active ingredient. Thus, 2.89 g (PhO)2P(O)N3 was added dropwise to a solution of 1.98 g 2-biphenylcarboxylic acid and 1.11 g Et3N in 50 mL toluene, stirred at 60° for 1.5 h, followed by adding 1.27 g 3-quinuclidinol, and the resulting mixture was refluxed for 6 h to give, after workup and silica gel chromatog., 2.47 g 3-quinuclidinyl N-(2-biphenylyl)carbamate (II). The latter compound (0.46 g) was stirred with MeI in 2-butanone at room temperature for 5.5 h to give 0.58 g

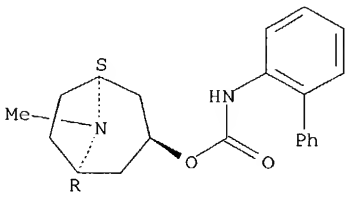
3-[[N-(2-biphenylyl)carbamoyl]oxy]-1-methylquinuclidinium iodide (III). II and III showed a binding affinity with the dissociation constant Ki of 0.94 and 0.56 nM, resp., for muscarine M3 receptor preparation from submaxillary gland membrane and that of 25.9 and 14.4 nM, resp., for muscarine M2 receptor preparation from heart membrane and the binding affinity ratio of the muscarine M2 and M3 receptor was 27.6 and 25.7 for II and III, resp. II and III inhibited 50% the gallamine-induced contraction of a respiratory tract of guinea pig at 0.0045 and 0.0038 mg/kg i.v., resp., vs. 0.0008 mg/kg i.v. for atropine.

IT **171723-66-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel heterocyclyl pyridyl(methyl)- or phenyl(methyl)carbamate derivs. as selective antagonists for muscarine M3 receptor)

RN 171723-66-3 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L4 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:849168 CAPLUS

DN 123:285789

TI Preparation of heterocyclyl carbamate derivatives with muscarine M3 receptor antagonism

IN Takeuchi, Makoto; Naito, Ryo; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Isomura, Yasuo; Tomioka, Kenichi

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506635	A1	19950309	WO 1994-JP1436	19940831
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9475458	A1	19950322	AU 1994-75458	19940831
PRAI	JP 1993-218620		19930902		
	JP 1994-77575		19940415		
	WO 1994-JP1436		19940831		

OS MARPAT 123:285789

GI For diagram(s), see printed CA Issue.

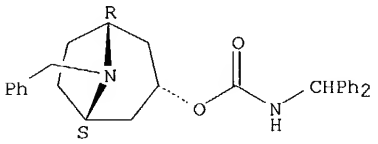
AB Heterocyclyl (thio)carbamate and (thio)urea derivs. represented by general formula [I; R = (un)substituted aryl; R1 = cycloalkyl, (un)substituted aryl; R2 = H, OH, lower alkyl, lower alkoxy, cycloalkyl, aryl; R3 = H, lower alkyl; X = O, S; Y = O, S, (un)substituted NH, CH2, OCH2; ring A = heterocyclyl Q - Q1; wherein m, n = 1-4, provided that m + n = 3-5; l = 1-3, provided that m + l = 3-5; p, q = 0, 1; r, s, t = 0-3, provided that r + s + t = 2 or 3; Z = N(O)qR4, N+R5R6.Q-; Z1 = N(O)q, N+R6.Q-; wherein Q- = anion; R4 = H, lower alkyl, alkenyl, or alkynyl, B-R7; R5 = lower alkyl, alkenyl, or alkynyl, B-R7; R6 = lower alkyl, alkenyl, or alkynyl; wherein R7 = cycloalkyl, lower (hydroxy)alkoxy, benzhydryl,

(un)substituted aryl, optionally benzene ring-fused or (un)substituted heterocyclyl containing 1 or 2 heteroatoms; B = single bond, lower alkylene, alkenylene, or alkynylene] or salts, hydrates or solvates thereof are prepared A muscarine M3 receptor antagonist for preventing or treating digestive tract, respiratory or urol. diseases such as irritable bowel syndrome, spasmodic colitis, diverticulitis, chronic obstructive lung diseases, chronic bronchitis, asthma, rhinitis, neural pollakiurea, nocturnal enuresis, nervous bladder, unstable bladder, bladder contracture, chronic cystitis, urinary incontinence, and pollakiurea, contains the said compound I. Thus, 2.92 g NaBH(OAc)3 was added portion-wise to a solution of 1.60 g 4-piperidyl N-benzhydrylcarbamate hydrochloride (preparation given) and 0.40 mL 3-thiophenecarbaldehyde in 20 mL ClCH2CH2Cl and the resulting mixture was stirred at room temperature overnight to give, after silica gel chromatog. and salt formation, a title compound [II.(CO2H)2]. II.(CO2H)2 in vitro showed binding affinity to muscarine M1 receptor of cerebral cortex, muscarine M2 receptor of heart, and muscarine M3 receptor of submaxillary gland with Ki value of 1.0, 350, and 6.0 nM, resp., and Ki(M2 receptor)/Ki (M3 receptor) ratio of 58.

IT **168830-03-3P 168830-04-4P 168830-05-5P**
168830-06-6P 168830-07-7P 168830-08-8P
168830-42-0P 168830-48-6P 168830-49-7P
168830-54-4P 168830-55-5P 168830-68-0P
168830-69-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl (thio)carbamate derivs. as muscarine M3 receptor antagonists)

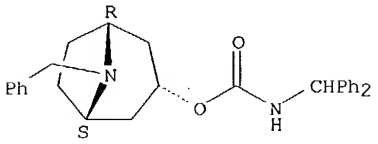
RN 168830-03-3 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168830-04-4 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, (3-endo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

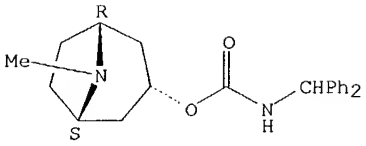
Relative stereochemistry.



● HCl

RN 168830-05-5 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

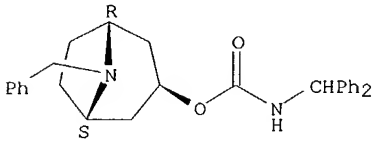
Relative stereochemistry.



● HCl

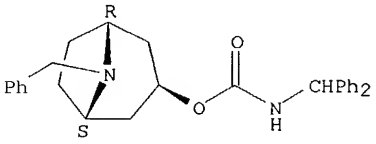
RN 168830-06-6 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168830-07-7 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, (3-exo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

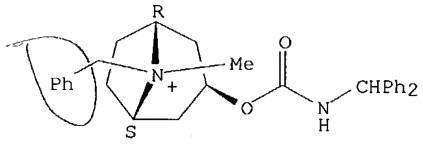
Relative stereochemistry.



● HCl

RN 168830-08-8 CAPLUS
CN 8-Azoniabicyclo[3.2.1]octane, 3-[[[(diphenylmethyl)amino]carbonyl]oxy]-8-methyl-8-(phenylmethyl)-, iodide, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

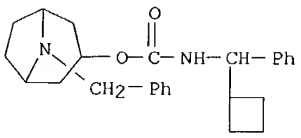


● I^-

RN 168830-42-0 CAPLUS
CN Carbamic acid, (cyclobutylphenylmethyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

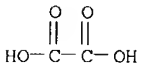
CM 1

CRN 168830-41-9
CMF C26 H32 N2 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

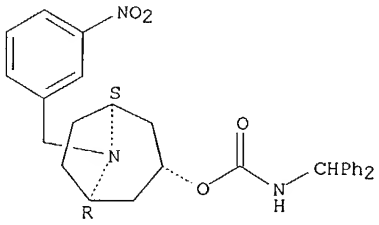


RN 168830-48-6 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-[(3-nitrophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl ester, exo-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

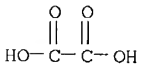
CRN 168830-47-5
CMF C28 H29 N3 O4

Relative stereochemistry.

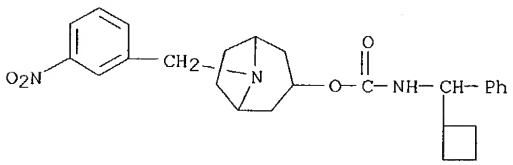


CM 2

CRN 144-62-7
CMF C2 H2 O4

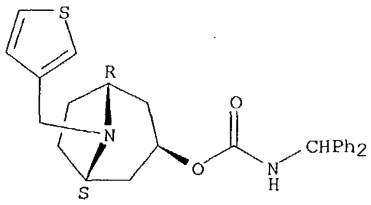


RN 168830-49-7 CAPLUS
CN Carbamic acid, (cyclobutylphenylmethyl)-, 8-[(3-nitrophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl ester (9CI) (CA INDEX NAME)



RN 168830-54-4 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

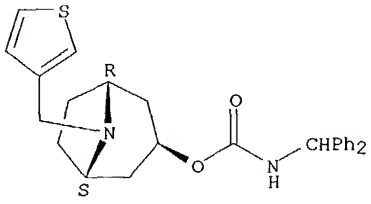


RN 168830-55-5 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 168830-54-4
CMF C26 H28 N2 O2 S

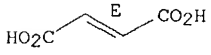
Relative stereochemistry.



CM 2

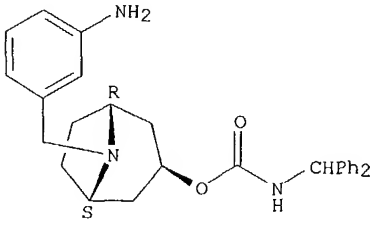
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



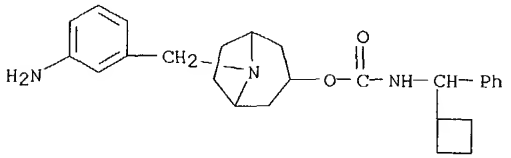
RN 168830-68-0 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 8-[(3-aminophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl ester, dihydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



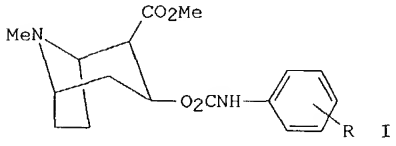
●2 HCl

RN 168830-69-1 CAPLUS
CN Carbamic acid, (cyclobutylphenylmethyl)-, 8-[(3-aminophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:457746 CAPLUS
DN 121:57746
TI Synthesis of Substituted 3-Carbamoylecgonine Methyl Ester Analogs: Irreversible and Photoaffinity Ligands for the Cocaine Receptor/Dopamine Transporter
AU Kline, Richard H., Jr.; Eshleman, Amy J.; Eldefrawi, Mohyee E.; Wright, Jeremy
CS Department of Pharmaceutical Science and Pharmacology, University of Maryland, Baltimore, MD, 21201, USA
SO Journal of Medicinal Chemistry (1994), 37(14), 2249-52
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



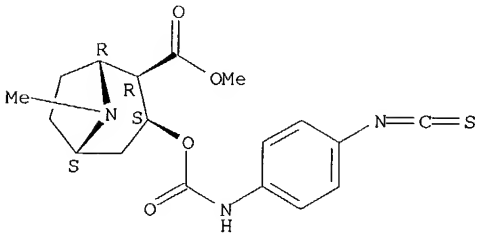
I

AB As a step toward the goal of producing a photoaffinity probe for the dopamine transporter, isocyanato and azido derivs. of 3-[(phenylcarbamoyl)oxy]ecgonine Me ester I (R = 3-, 4-N:C:S, 3-, 4-N3) were synthesized and tested for their ability to interact with the cocaine receptor of mammalian brain via two different assays. The ability of two isothiocyanato (N:C:S) (para and meta) and two azido (N3) (para and meta) derivs., as well as (-)-cocaine, to inhibit [3H]cocaine binding and [3H]dopamine uptake and to covalently interact with the cocaine-binding site was tested. The p-N:C:S was the most potent, with IC50 values of 0.23 and 0.49 μM for [3H]cocaine binding and [3H]dopamine uptake. The m-N3 and p-N3 inhibited [3H]cocaine binding with IC50 values of 0.63 and 1.00 μM and inhibited [3H]dopamine uptake with IC50 values of 5.08 and 1.32 μM, resp. Reincubation of synaptosomal membranes with the m- or p-N:C:S isomer either in reduced lighting or under UV light followed by two washes resulted in inhibition of 70% and 85% of [3H]cocaine binding, resp., indicating the highly reactive properties of these compds. After preincubation in reduced lighting, m-N3 and p-N3 inhibited 0% and 13% of [3H]cocaine binding, while following preincubation under UV light, the inhibition increased to 61% and 68%, resp. Thus, the isothiocyanato derivs. appear to bind irreversibly to the cocaine receptor in the presence or absence of UV light, whereas the azido derivs. are photoreactive compds. which may prove useful in the purification of the receptor.

IT **155797-95-8P 155797-96-9P 155797-97-0P**
155797-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as irreversible and photoaffinity ligands for the cocaine receptor/dopamine transporter)

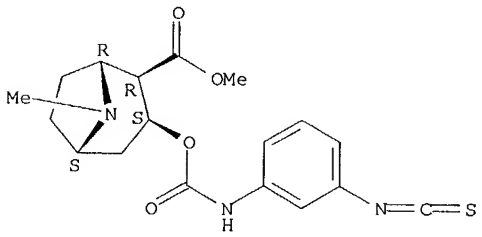
RN 155797-95-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(4-isothiocyanatophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



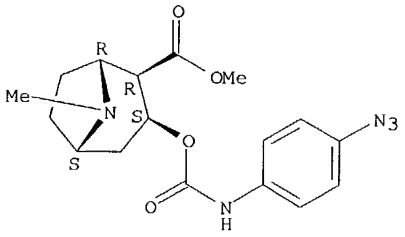
RN 155797-96-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(3-isothiocyanatophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155797-97-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(4-azidophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

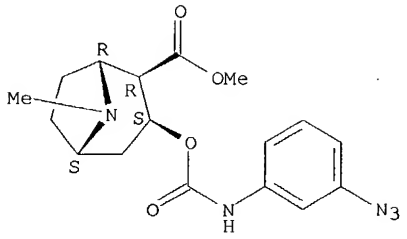
Absolute stereochemistry.



RN 155797-98-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(3-azidophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

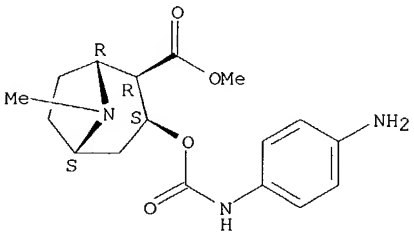
Absolute stereochemistry.

10718403



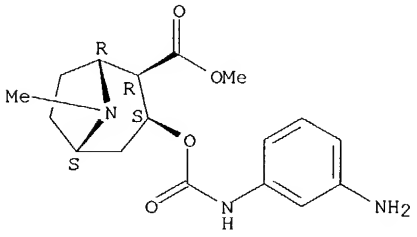
IT **131013-14-4 131013-16-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiophosgene)
RN 131013-14-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(4-aminophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131013-16-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(3-aminophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

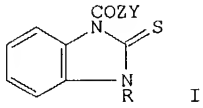


L4 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:270403 CAPLUS
DN 120:270403
TI Preparation of azabicycloalkyl benzimidazole-2-thione-1-carboxylates and analogs as 5-HT3 receptor ligands
IN Merce Vidal, Ramon; Frigola Constansa, Jordi
PA Laboratorios del dr Esteve SA, Spain
SO Fr. Demande, 15 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2694292	A1	19940204	FR 1992-9382	19920729
	FR 2694292	B1	19941021		
	ES 2103221	A1	19970901	ES 1993-1754	19930728
	ES 2103221	B1	19980701		
PRAI	FR 1992-9382		19920729		

10718403

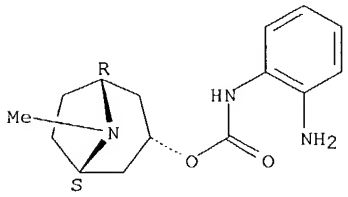
OS MARPAT 120:270403
GI



I

AB Title compds [I; R = H, alkyl; Y = 8-methyl-8-azabicyclo[3.2.1]oct-3-yl, 1- azabicyclo[2.2.2]oct-3-yl; Z = O, NH] were prepared Thus, endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl chloroformate was condensed with 2,3-dihydro-1H-benzimidazole-2-thione to give endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl 2,3-dihydro-1H-benzimidazole-2-thione-1-carboxylate hydrochloride which had Ki of 5.3nM for binding at rat cerebral cortex 5-HT3 receptors in vitro.
IT **123259-35-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of 5-HT3 receptor ligand)
RN 123259-35-8 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

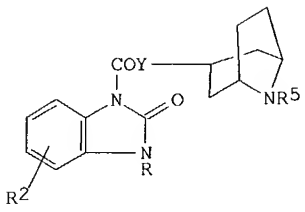
Relative stereochemistry.



L4 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:134470 CAPLUS
DN 120:134470
TI Benzimidazoline-2-oxo-1-carboxylic acid derivatives useful as serotonin receptor antagonists
IN Turconi, Marco; Donetti, Arturo; Montagna, Ernesto; Nicola, Massimo; Uberti, Annamaria; Micheletti, Rosamaria; Giachetti, Antonio
PA Boehringer Ingelheim Italia S.p.A., Italy
SO U.S., 13 pp. Cont-in-part of U.S. Ser. No. 768,497, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5223511	A	19930629	US 1992-845891	19920304
	US 5358954	A	19941025	US 1993-33675	19930316
	US 5552408	A	19960903	US 1995-432338	19950501

PRAI IT 1987-21997 19870923
US 1988-243949 19880913
US 1990-552353 19900712
US 1991-768497 19910930
US 1992-845891 19920304
US 1993-33675 19930316
US 1994-267682 19940628
OS MARPAT 120:134470
GI



I

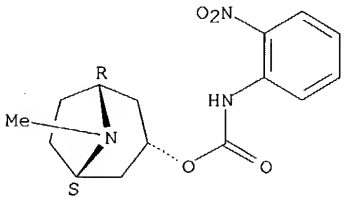
AB The title compds. I (R = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R2 = H, halogen, C1-6 alkoxy; R5 = H, C1-6 alkyl, CR6:NR7; R6 = H, C1-4 alkyl, NH2; R7 = H, C1-C6 alkyl; Y = O, NH), which are serotonin receptor antagonists, useful as antiemetics and gastric prokinetic agents, are prepared and I-containing formulation presented. Thus, N-(endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-2,3-dihydro-3-hexyl-2-oxo-1H-benzimidazole-1-carboxamide hydrochloride (m.p. 214-215°) was prepared and demonstrated 50% elimination of cisplatin-induced nausea in dogs at 1.3 μg/kg.

IT **123259-36-9P 123259-37-0P 123259-43-8P**
123259-45-0P 123259-48-3P 123259-49-4P
123259-57-4P 123259-60-9P 123279-49-2P
123279-51-6P 127595-15-7P 152994-89-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepare and reaction of, in preparation of serotonin receptor antagonists)

RN 123259-36-9 CAPLUS

CN Carbamic acid, (2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

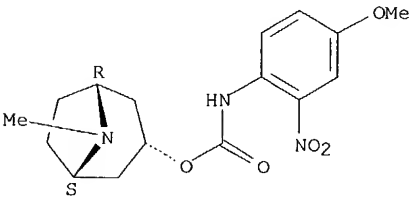


● HCl

RN 123259-37-0 CAPLUS

CN Carbamic acid, (4-methoxy-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

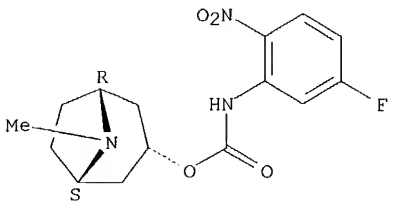


● HCl

RN 123259-43-8 CAPLUS

CN Carbamic acid, (5-fluoro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

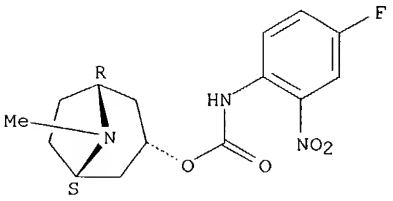
Relative stereochemistry.



● HCl

RN 123259-45-0 CAPLUS
CN Carbamic acid, (4-fluoro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

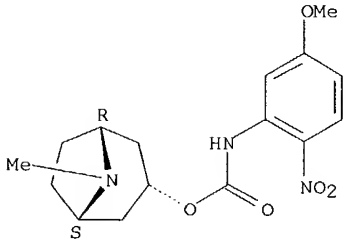
Relative stereochemistry.



● HCl

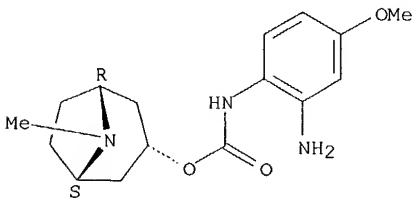
RN 123259-48-3 CAPLUS
CN Carbamic acid, (5-methoxy-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



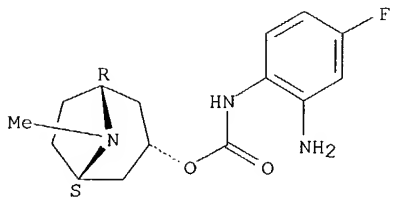
RN 123259-49-4 CAPLUS
CN Carbamic acid, (2-amino-4-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



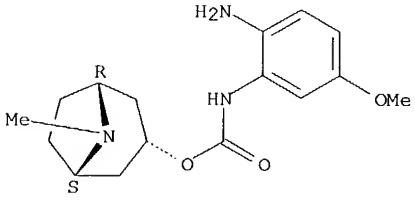
RN 123259-57-4 CAPLUS
CN Carbamic acid, (2-amino-4-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



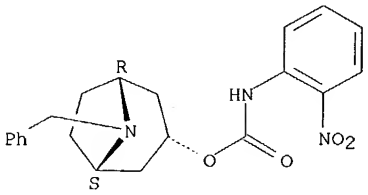
RN 123259-60-9 CAPLUS
CN Carbamic acid, (2-amino-5-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 123279-49-2 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

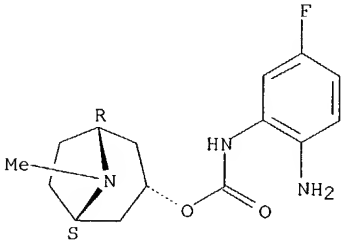
Relative stereochemistry.



● HCl

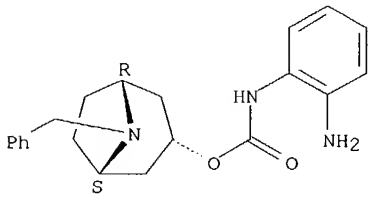
RN 123279-51-6 CAPLUS
CN Carbamic acid, (2-amino-5-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



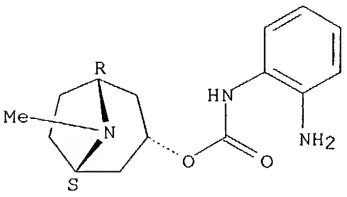
RN 127595-15-7 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152994-89-3 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



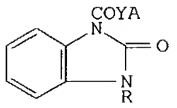
● HCl

L4 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:213077 CAPLUS
DN 118:213077
TI Preparation of 2-oxobenzimidazoline-1-carboxylic acid derivatives for treatment of organic mental diseases
IN Brambilla, Alessandro; Turconi, Marco; Schiantarelli, Pierino; Borsini, Franco; Ladinsky, Herbert
PA Boehringer Ingelheim Italia S.p.A., Italy
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 523013	A2	19930113	EP 1992-830346	19920702
	EP 523013	A3	19930127		
	EP 523013	B1	19941221		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	CA 2072911	AA	19930105	CA 1992-2072911	19920702
	AU 9219381	A1	19930107	AU 1992-19381	19920702
	AU 658197	B2	19950406		
	JP 05194216	A2	19930803	JP 1992-175281	19920702
	NO 9202635	A	19930105	NO 1992-2635	19920703
	HU 61462	A2	19930128	HU 1992-2229	19920703
	ZA 9204949	A	19940103	ZA 1992-4949	19920703
PRAI	IT 1991-MI1845		19910704		

OS MARPAT 118:213077
GI

10718403



I

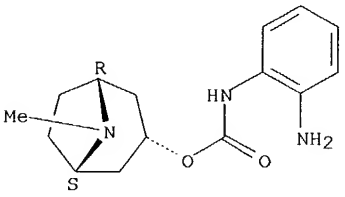
AB Title compds. I [R = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; Y = O, NH; A = (substituted) heterocyclyl] and their salts are prepared 2,3-Dihydro-2-oxo-1H-benzimidazole-1-carbonyl chloride in THF was added to endo-8-methyl-8-azabicyclo[3.2.1]octan-3-amine in THF to give endo-I (R = H, Y = NA, A = 8-methyl-8-azabicyclo[3.2.1]oct-3-yl) (II). In test on scopolamine-induced impairment of passive avoidance response in rats II at 0.01 mg/kg showed latency of 136 s. Pharmaceutical formulations comprising I were given.

IT **123259-35-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of benzimidazoles for treatment of organic mental disorder)

RN 123259-35-8 CAPLUS

CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:214319 CAPLUS

DN 116:214319

TI Novel antagonists of the 5-HT3 receptor. Synthesis and structure-activity relationships of (2-alkoxybenzoyl)ureas

AU Bradley, Gerald; Ward, Terence J.; White, Janet C.; Coleman, James; Taylor, Ann; Rhodes, Keith F.

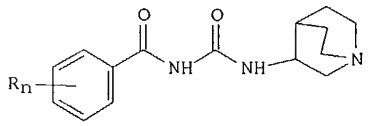
CS Dep. Chem., Wyeth Res. (UK), Maidenhead/Berkshire, SL6 0PH, UK

SO Journal of Medicinal Chemistry (1992), 35(9), 1515-20
CODEN: JMCMAR; ISSN: 0022-2623

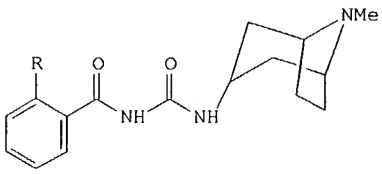
DT Journal

LA English

GI



I



II

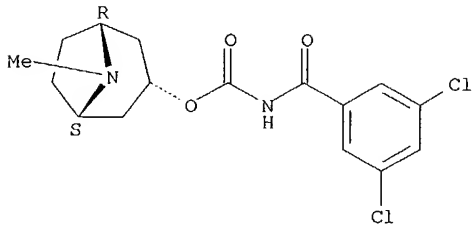
AB A series of benzoylureas, e.g., I [Rn 2-F, 2-OMe, 4-OMe, 2,6-(OMe)2, 3,5-Cl2] and II (R = OMe, OH, OEt, OPr, OBu, OCHMe2, OCH2CHMe2, OCH2CH2CHMe2, cyclopropylmethoxy) derived from bicyclic amines were prepared

and evaluated for 5-HT3 antagonist activity on the rat isolated vagus nerve. Among these compds., those analogs which were ortho-substituted by an alkoxy group on the benzoyl function were potent 5-HT3 antagonists with similar or greater potency than the standard agent ondansetron. NMR and x-ray crystallog. studies showed these o-alkoxy compds. to exist as a planar, hydrogen-bonded, tricyclic ring system. In mol. modeling studies on II (R = cyclopropylmethoxy) the central hydrogen-bonded ring was able to mimic an aromatic ring present in previously reported 5-HT3 antagonists.

IT **124808-45-3P 139632-54-5P 139632-55-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydroxytryptamine receptor antagonist activity of)

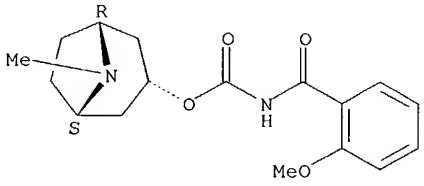
RN 124808-45-3 CAPLUS
CN Carbamic acid, (3,5-dichlorobenzoyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 139632-54-5 CAPLUS
CN Carbamic acid, (2-methoxybenzoyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

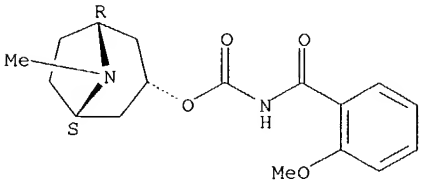


RN 139632-55-6 CAPLUS
CN Butanedioic acid, compd. with endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl (2-methoxybenzoyl)carbamate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 139632-54-5
CMF C17 H22 N2 O4

Relative stereochemistry.



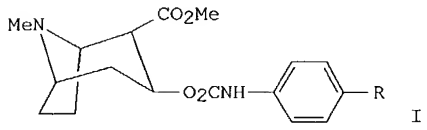
CM 2

CRN 110-15-6
CMF C4 H6 O4

10718403

$HO_2C-CH_2-CH_2-CO_2H$

L4 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:82215 CAPLUS
DN 114:82215
TI Synthesis of 3-carbamoylecgonine methyl ester analogs as inhibitors of cocaine binding and dopamine uptake
AU Kline, Richard H., Jr.; Wright, Jeremy; Eshleman, Amy J.; Fox, Kristine M.; Eldefrawi, Mohyee E.
CS Dep. Biomed. Chem., Univ. Maryland, Baltimore, MD, 21201, USA
SO Journal of Medicinal Chemistry (1991), 34(2), 702-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 114:82215
GI



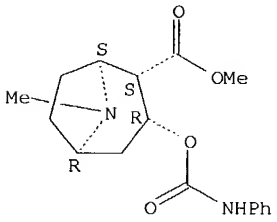
I

AB Five (1R-3-exo-3-exo)-3-(N-phenylcarbamoyl)ecgonine Me ester analogs I (R = H, 3-, 4-NO2, 3-, 4-NH2) were synthesized and characterized by 1H and 13C NMR, IR, and thermospray MS. The compds. were synthesized in two or three steps as (-)-stereoisomers from (-)-ecgonine in good yield (56%) overall). These cocaine derivs. were assessed for their ability to inhibit [3H]cocaine binding to rat striatal tissue and to inhibit [3H]dopamine uptake into synaptosomes prepared from the same tissue. The most potent of the analogs was I (R = 3-O2N). IC50 values for inhibition of cocaine binding and dopamine uptake were 37 and 178 nM, resp. Amino derivs. were less active than the nitro and I (R = 4-O2N) had the lowest affinity for the receptor with IC50 values of 63 and >100 μM in the aforementioned assays resp.

IT **29364-08-7P 131013-13-3P 131013-14-4P**
131013-15-5P 131013-16-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inhibition by, of cocaine binding and dopamine uptake)

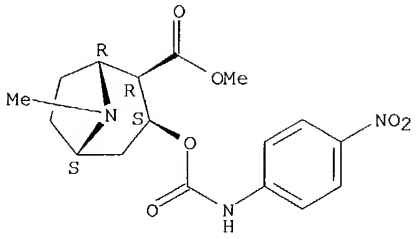
RN 29364-08-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 8-methyl-3-[[(phenylamino)carbonyl]oxy]-, methyl ester, (exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



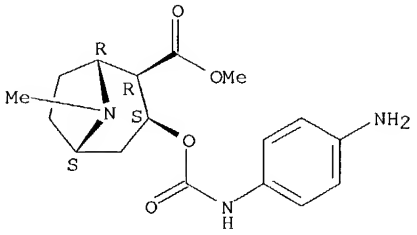
RN 131013-13-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 8-methyl-3-[[[(4-nitrophenyl)amino]carbonyl]oxy]-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



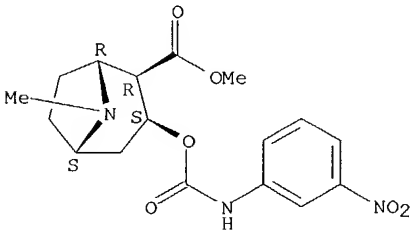
RN 131013-14-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(4-aminophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



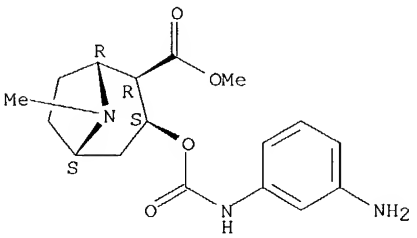
RN 131013-15-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 8-methyl-3-[[[(3-nitrophenyl)amino]carbonyl]oxy]-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131013-16-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(3-aminophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

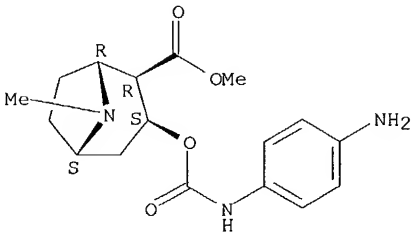


IT **131100-30-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

10718403

RN 131100-30-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(4-aminophenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, dihydrochloride, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L4 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:81865 CAPLUS
DN 114:81865
TI Preparation of quinolines, quinazolines and analogs as antimuscarinic agents
IN Micheletti, Rosamaria; Doods, Henri Nico; Turconi, Marco; Sagrada, Angelo; Donetti, Arturo; Schiavi, Battista Giovanni
PA Istituto De Angeli S.p.A., Italy
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 382687	A2	19900816	EP 1990-830040	19900205
	EP 382687	A3	19911204		
	EP 382687	B1	19951227		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	CZ 277886	B6	19930317	CZ 1990-335	19900124
	US 5106851	A	19920421	US 1990-474187	19900202
	IL 93257	A1	19940731	IL 1990-93257	19900202
	CA 2009300	AA	19900806	CA 1990-2009300	19900205
	NO 9000542	A	19900807	NO 1990-542	19900205
	NO 173500	B	19930913		
	NO 173500	C	19931222		
	AU 9049086	A1	19901025	AU 1990-49086	19900205
	AU 623733	B2	19920521		
	HU 54118	A2	19910128	HU 1990-671	19900205
	JP 03197462	A2	19910828	JP 1990-25889	19900205
	ZA 9000825	A	19911030	ZA 1990-825	19900205
	DD 297815	A5	19920123	DD 1990-337608	19900205
	PL 162682	B1	19931231	PL 1990-283642	19900205
	AT 132140	E	19960115	AT 1990-830040	19900205
	ES 2081966	T3	19960316	ES 1990-830040	19900205
	FI 96686	B	19960430	FI 1990-553	19900205
	FI 96686	C	19960812		
	RU 2040524	C1	19950725	RU 1992-5011529	19920508
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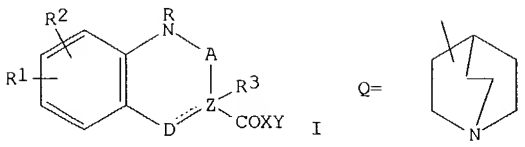
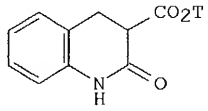
OS MARPAT 114:81865
GI



I



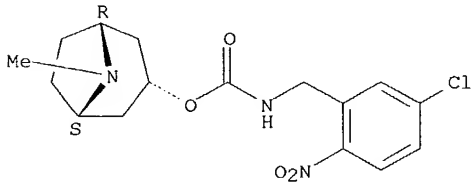
II

AB The title compds. I [R = H, C1-6 alkyl; R1, R2 = H, halo, C1-6 alkyl, alkoxy, alkylthio, alkoxycarbonyl, etc.; R3 = H, C1-6 alkyl, aryl, aralkyl, or it may be absent; A = CO, CS, SO, SO2; Z is N when R3 is absent and the ZD bond is single; or Z is C; D = CO, CH2CH2, CR4R5 when the ZD bond is single, or D is CR when the ZD bond is double; R4 = H, C1-6 alkyl, aryl, aralkyl, OH, etc.; R5 = H; X is O, NR or it is absent; Y = (CH2)nNR6R7, Q, etc.; n = 2 or 3; R6, R7 = H, C1-4 alkyl, aralkyl; or when R7 is H, C1-4 alkyl, R6 may be CR8(:NR); R8 = H, C1-4 alkyl, amino] were prepared Reaction of 1,2,3,4-tetrahydro-2-oxo-3-quinolinecarboxylic acid with carbonyldiimidazole, followed by treatment with a mixture of endo-8-methyl-8-azabicyclo[3.2.1]octan-3-ol and NaH in DMF, gave tetrahydroquinoline II (T = endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl) isolated as the maleic acid salt. In an in vitro receptor binding test using rat cerebral cortex (M1) and 3H-pirenzepine, the compound N-(endo-8-methyl-5-azabicyclo[3.2.1]oct-3-yl)-1,4-dihydro-2(H)-2-oxo-3-quinazolinecarboxamide exhibited a KD value of 1 nM; its value in an M2 assay (heart homogenate) was 60 nM.

IT **131780-91-1P 131781-07-2P 131781-08-3P**
131781-12-9P 131781-13-0P 131781-15-2P
131781-16-3P 131781-17-4P 131781-19-6P
131781-20-9P 131781-21-0P 131781-22-1P
131781-23-2P 131781-24-3P 131781-25-4P
131781-26-5P 131781-29-8P 131781-30-1P
131781-33-4P 131781-34-5P 131781-35-6P
131781-36-7P 131781-37-8P 131781-38-9P
131781-40-3P 131781-43-6P 131781-46-9P
131781-47-0P 131781-48-1P 131781-49-2P
131781-50-5P 131781-51-6P 131781-52-7P
131799-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antimuscarinic agent)

RN 131780-91-1 CAPLUS
CN Carbamic acid, [(5-chloro-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

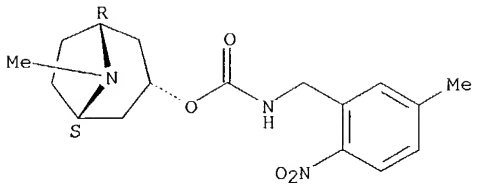
Relative stereochemistry.



● HCl

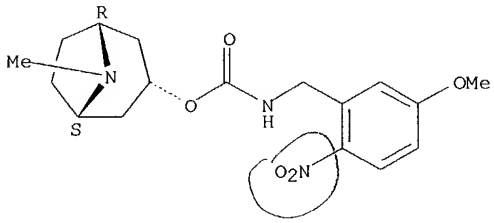
RN 131781-07-2 CAPLUS
CN Carbamic acid, [(5-methyl-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



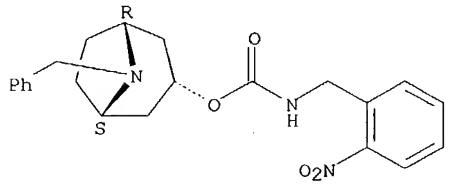
RN 131781-08-3 CAPLUS
CN Carbamic acid, [(5-methoxy-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



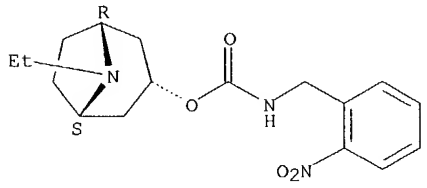
RN 131781-12-9 CAPLUS
CN Carbamic acid, [(2-nitrophenyl)methyl]-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



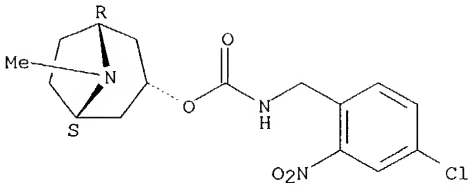
RN 131781-13-0 CAPLUS
CN Carbamic acid, [(2-nitrophenyl)methyl]-, 8-ethyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 131781-15-2 CAPLUS
CN Carbamic acid, [(4-chloro-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

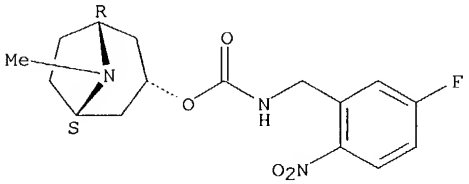
Relative stereochemistry.



● HCl

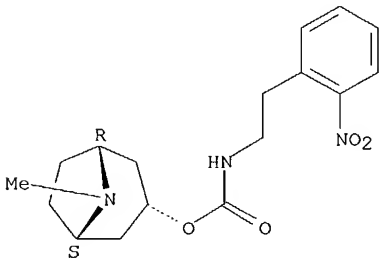
RN 131781-16-3 CAPLUS
CN Carbamic acid, [(5-fluoro-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 131781-17-4 CAPLUS
CN Carbamic acid, [2-(2-nitrophenyl)ethyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

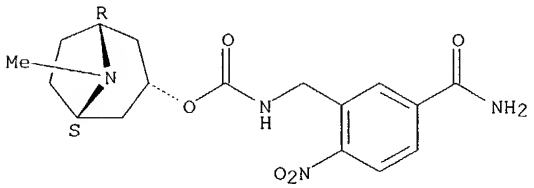
Relative stereochemistry.



● HCl

RN 131781-19-6 CAPLUS
CN Carbamic acid, [[5-(aminocarbonyl)-2-nitrophenyl]methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

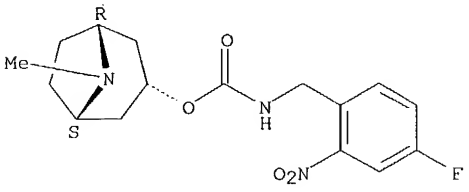
Relative stereochemistry.



RN 131781-20-9 CAPLUS
CN Carbamic acid, [(4-fluoro-2-nitrophenyl)methyl]-, 8-methyl-8-

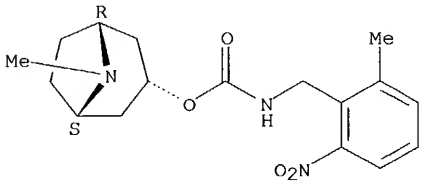
azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



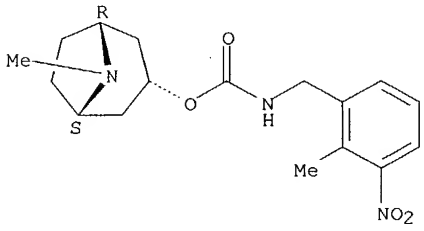
RN 131781-21-0 CAPLUS
CN Carbamic acid, [(2-methyl-6-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 131781-22-1 CAPLUS
CN Carbamic acid, [(2-methyl-3-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

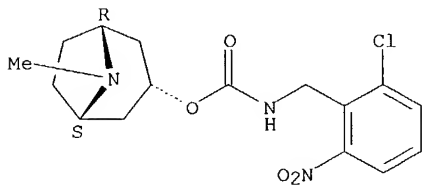
Relative stereochemistry.



● HCl

RN 131781-23-2 CAPLUS
CN Carbamic acid, [(2-chloro-6-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

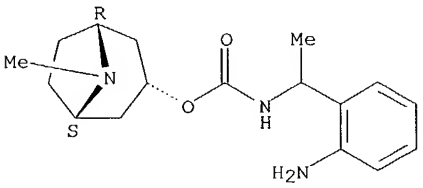
Relative stereochemistry.



● HCl

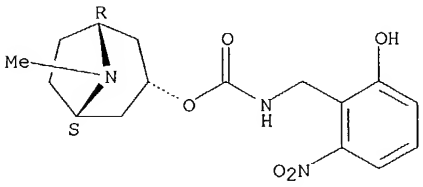
RN 131781-24-3 CAPLUS
CN Carbamic acid, [1-(2-aminophenyl)ethyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



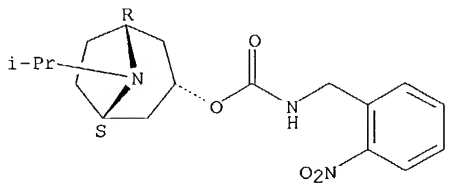
RN 131781-25-4 CAPLUS
CN Carbamic acid, [(2-hydroxy-6-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



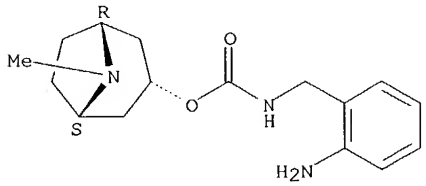
RN 131781-26-5 CAPLUS
CN Carbamic acid, [(2-nitrophenyl)methyl]-, 8-(1-methylethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



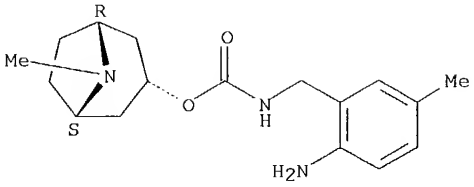
RN 131781-29-8 CAPLUS
CN Carbamic acid, [(2-aminophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



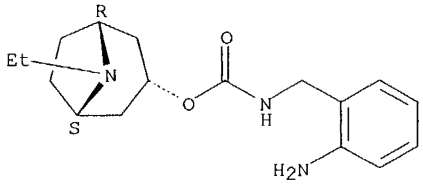
RN 131781-30-1 CAPLUS
CN Carbamic acid, [(2-amino-5-methylphenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



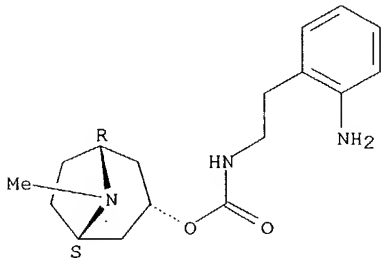
RN 131781-33-4 CAPLUS
CN Carbamic acid, [(2-aminophenyl)methyl]-, 8-ethyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



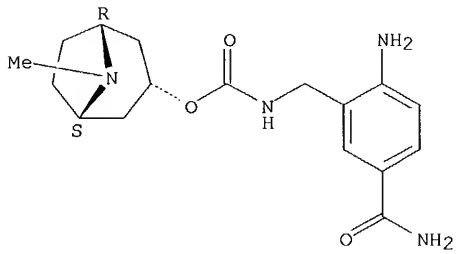
RN 131781-34-5 CAPLUS
CN Carbamic acid, [2-(2-aminophenyl)ethyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



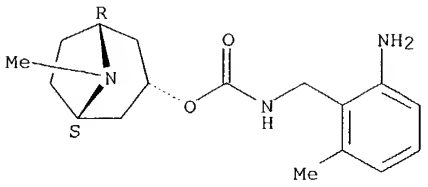
RN 131781-35-6 CAPLUS
CN Carbamic acid, [[2-amino-5-(aminocarbonyl)phenyl]methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



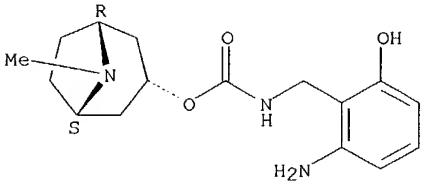
RN 131781-36-7 CAPLUS
CN Carbamic acid, [(2-amino-6-methylphenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



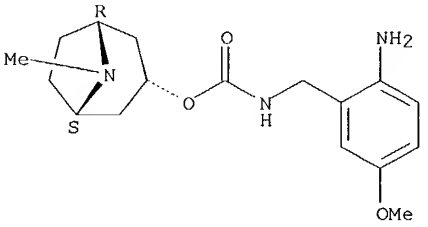
RN 131781-37-8 CAPLUS
CN Carbamic acid, [(2-amino-6-hydroxyphenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



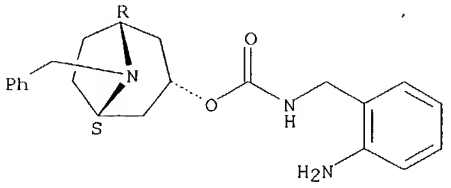
RN 131781-38-9 CAPLUS
CN Carbamic acid, [(2-amino-5-methoxyphenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



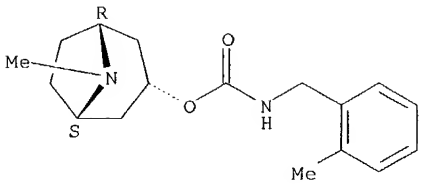
RN 131781-40-3 CAPLUS
CN Carbamic acid, [(2-aminophenyl)methyl]-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



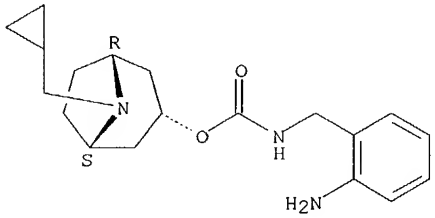
RN 131781-43-6 CAPLUS
CN Carbamic acid, [(2-methylphenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



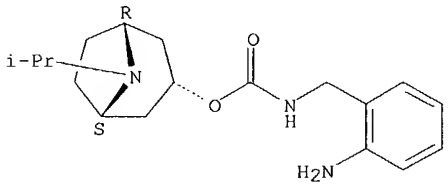
RN 131781-46-9 CAPLUS
CN Carbamic acid, [(2-aminophenyl)methyl]-, 8-(cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



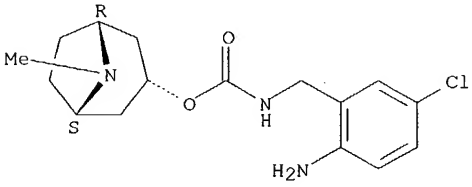
RN 131781-47-0 CAPLUS
CN Carbamic acid, [(2-aminophenyl)methyl]-, 8-(1-methylethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



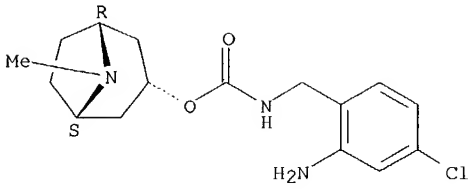
RN 131781-48-1 CAPLUS
CN Carbamic acid, [(2-amino-5-chlorophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



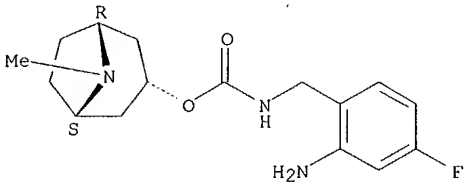
RN 131781-49-2 CAPLUS
CN Carbamic acid, [(2-amino-4-chlorophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



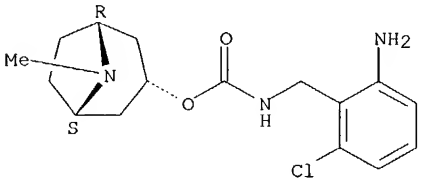
RN 131781-50-5 CAPLUS
CN Carbamic acid, [(2-amino-4-fluorophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



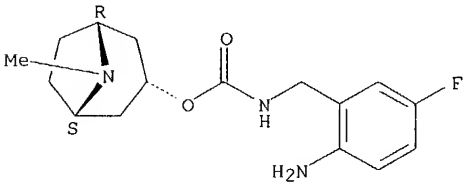
RN 131781-51-6 CAPLUS
CN Carbamic acid, [(2-amino-6-chlorophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 131781-52-7 CAPLUS
CN Carbamic acid, [(2-amino-5-fluorophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

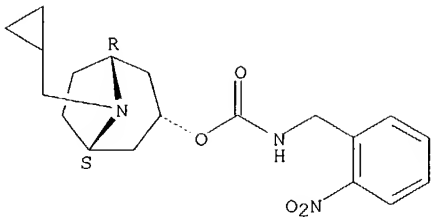
Relative stereochemistry.



RN 131799-59-2 CAPLUS
CN Carbamic acid, [(2-nitrophenyl)methyl]-, 8-(cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

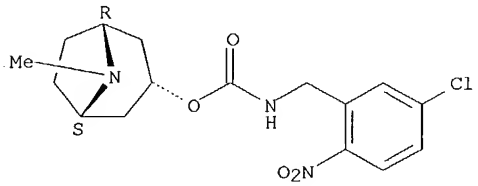
Relative stereochemistry.

10718403



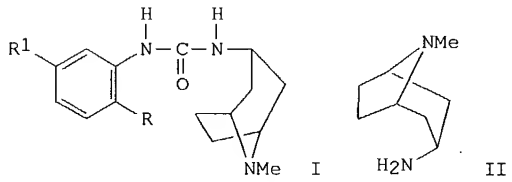
IT **131780-91-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antimuscarinic agent)
RN 131780-91-1 CAPLUS
CN Carbamic acid, [(5-chloro-2-nitrophenyl)methyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L4 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:531951 CAPLUS
DN 113:131951
TI 5-Hydroxytryptamine (5-HT3) receptor antagonists. 3. Ortho-substituted phenylureas
AU Bermudez, Jose; Dabbs, Steven; King, Frank D.
CS Res. Div., Beecham Pharm., Harlow/Essex, UK
SO Journal of Medicinal Chemistry (1990), 33(7), 1932-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 113:131951
GI

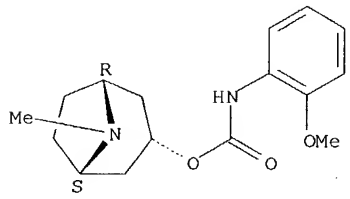


I II

AB A novel series of potent 5-HT3 receptor antagonists, ortho-substituted phenylureas, I, is described in which the 5-membered ring of the previously reported indazoles and indolines has been replaced by an intramol. H bond. The ortho-substituted phenylureas can be regarded as bioisosteres of the 6,5-heterocycles indole, indazole, and indoline. Thus, the reaction of aminoazabicyclooctane II with 2,5-R(R1)C6H3NCO (R = MeO, EtO, PrO, BuO, PhO, HO, MeO2C, Me2NCO, Me2NSO2, MeS, etc.; R1 = H, Me, NO2, MeO, HO) gave 34-88% .apprx.30 title compds. I.
IT **114574-82-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and 5-hydroxytryptamine receptor antagonist activity of)
RN 114574-82-2 CAPLUS
CN Carbamic acid, (2-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

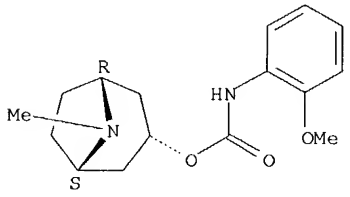
Relative stereochemistry.



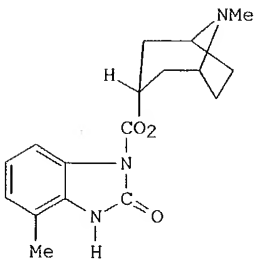
● HCl

IT **127517-18-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127517-18-4 CAPLUS
CN Carbamic acid, (2-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:459028 CAPLUS
DN 113:59028
TI Synthesis of a new class of 2,3-dihydro-2-oxo-1H-benzimidazole-1-carboxylic acid derivatives as highly potent 5-HT3 receptor antagonists
AU Turconi, Marco; Nicola, Massimo; Gil Quintero, Myrna; Maiocchi, Luciano; Micheletti, Rosella; Giraldo, Ettore; Donetti, Arturo
CS Dep. Med. Chem., Ist. De Angeli, Milan, I-20139, Italy
SO Journal of Medicinal Chemistry (1990), 33(8), 2101-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 113:59028
GI



I

10718403

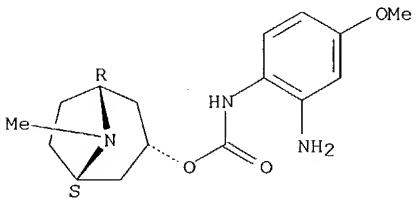
AB A series of 2,3-dihydro-2-oxo-1H-benzimidazole-1-carboxylic acid ester and amides containing a basic azabicycloalkyl or azacycloalkyl moiety, e.g., I and its analogs, were prepared and tested for their serotonin receptor-antagonist activity.

IT **123259-49-4 123259-50-7 123259-52-9**
123259-54-1 123259-55-2 123259-56-3
123259-57-4 123259-58-5 123259-59-6
123259-60-9 123259-61-0 127595-15-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with trichloromethyl chloroformate, benzimidazolecarboxylate from)

RN 123259-49-4 CAPLUS

CN Carbamic acid, (2-amino-4-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

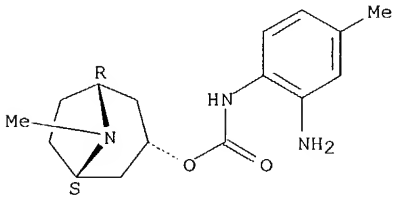
Relative stereochemistry.



RN 123259-50-7 CAPLUS

CN Carbamic acid, (2-amino-4-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

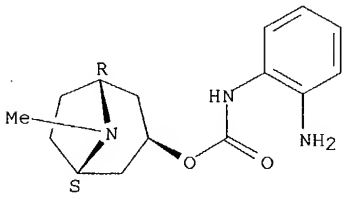
Relative stereochemistry.



RN 123259-52-9 CAPLUS

CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, exo- (9CI) (CA INDEX NAME)

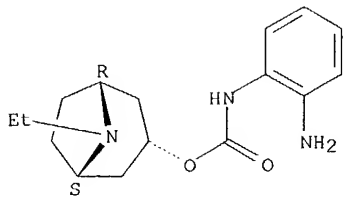
Relative stereochemistry.



RN 123259-54-1 CAPLUS

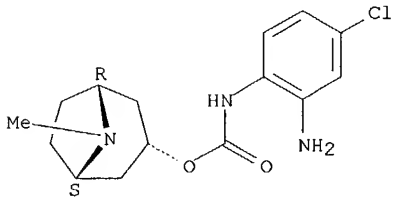
CN Carbamic acid, (2-aminophenyl)-, 8-ethyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



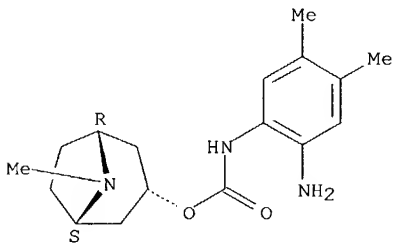
RN 123259-55-2 CAPLUS
CN Carbamic acid, (2-amino-4-chlorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



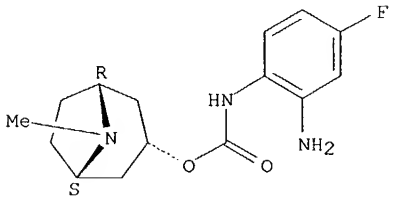
RN 123259-56-3 CAPLUS
CN Carbamic acid, (2-amino-4,5-dimethylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



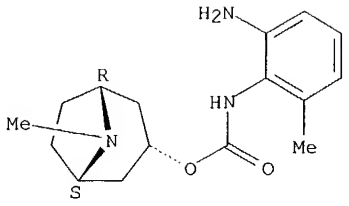
RN 123259-57-4 CAPLUS
CN Carbamic acid, (2-amino-4-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



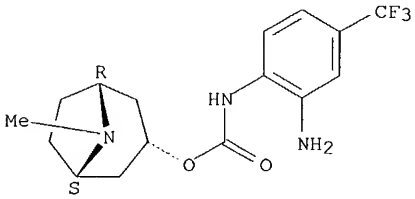
RN 123259-58-5 CAPLUS
CN Carbamic acid, (2-amino-6-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



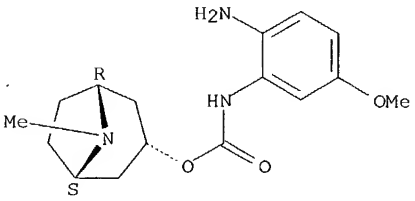
RN 123259-59-6 CAPLUS
CN Carbamic acid, [2-amino-4-(trifluoromethyl)phenyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



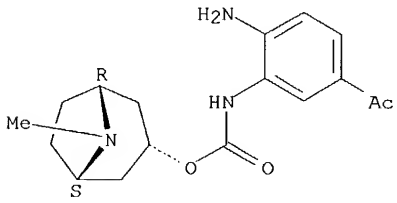
RN 123259-60-9 CAPLUS
CN Carbamic acid, (2-amino-5-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



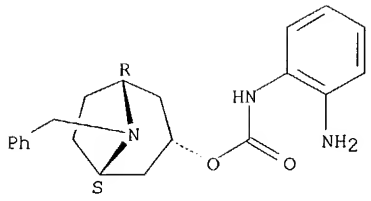
RN 123259-61-0 CAPLUS
CN Carbamic acid, (5-acetyl-2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



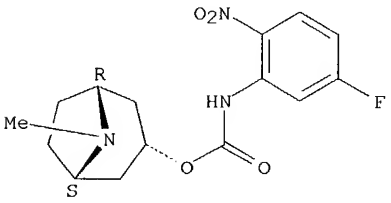
RN 127595-15-7 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **123259-43-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to (aminofluorophenyl)(methylazabicyclooctyl)carbamate)
RN 123259-43-8 CAPLUS
CN Carbamic acid, (5-fluoro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

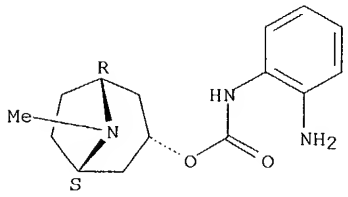
Relative stereochemistry.



● HCl

IT **123259-35-8P 123279-51-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to methylazabicyclooctyl dihydrooxobenzimidazolecarboxylate)
RN 123259-35-8 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

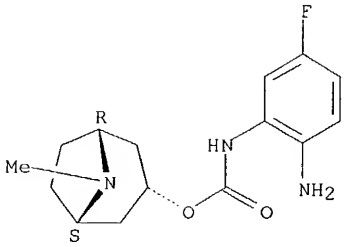
Relative stereochemistry.



RN 123279-51-6 CAPLUS
CN Carbamic acid, (2-amino-5-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

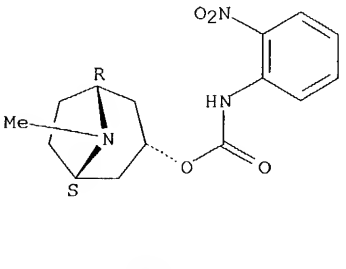
Relative stereochemistry.

10718403



IT **123259-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of, catalytic)
RN 123259-36-9 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

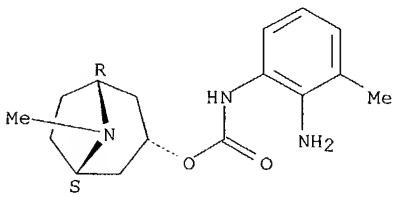
Relative stereochemistry.



● HCl

IT **127595-13-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and serotonin receptor-antagonist activity of)
RN 127595-13-5 CAPLUS
CN Carbamic acid, (2-amino-3-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

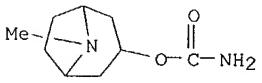


L4 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:423528 CAPLUS
DN 113:23528
TI Thiadiazolylalkoxyiminoacetamidocephems as antibacterial agents and their preparation
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 01308288	A2	19891212	JP 1989-102017	19890421

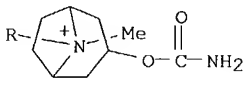
10718403

PRAI GB 1988-9736 19880425
OS MARPAT 113:23528
GI For diagram(s), see printed CA Issue.
AB The title compds. I [R1 = (protected) amino; R2 = H, hydroxy-protecting group, etc.; R3 = alkyl; R4 = H, alkyl, OH; n = 1-3; A = (substituted) alkylene which may be interrupted by heteroatom; Y = CH, N] and pharmaceutically acceptable salts thereof were prepared Reaction of 7-[2-(5-amino-1,2,4-thiadiazol-3-yl)-2-methoxyiminoacetamido]-3-chloromethyl-3-cephem-4-carboxylic acid CF3CO2H salt (syn isomer) with 3-hydroxy-8-methyl-8-azabicyclo[3.2.1]octane gave cephem syn-II (X = OH). syn-II (X = H) in vitro exhibited MIC of 0.2 μg/mL against Pseudomonas aeruginosa 26.
IT **127626-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibacterial agent)
RN 127626-42-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, carbamate (ester) (9CI) (CA INDEX NAME)

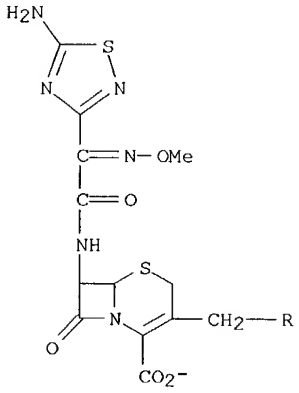


IT **127626-13-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibacterial agent)
RN 127626-13-5 CAPLUS
CN 8-Azoniabicyclo[3.2.1]octane, 3-[(aminocarbonyl)oxy]-8-[[7-[[(5-amino-1,2,4-thiadiazol-3-yl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-8-methyl-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:118671 CAPLUS
DN 112:118671
TI Preparation of N-aryl- or -aroyl-N'-quinuclidinylureas and analogs as

10718403

serotonin antagonists

IN Ward, Terence James; White, Janet Christine
PA John Wyeth and Brother Ltd., UK

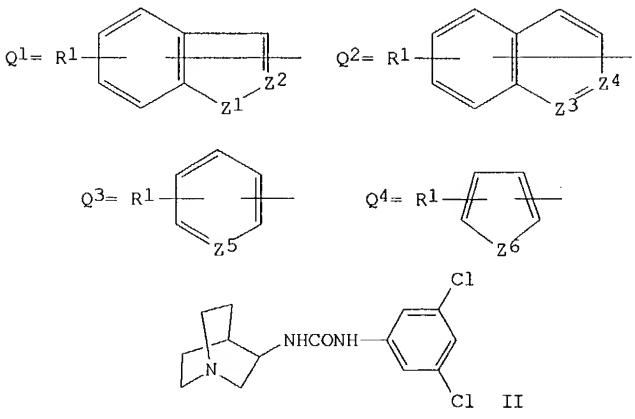
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 323077	A1	19890705	EP 1988-311802	19881214
	EP 323077	B1	19910911		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
	AU 8826702	A1	19890629	AU 1988-26702	19881208
	AU 611976	B2	19910627		
	ZA 8809210	A	19900829	ZA 1988-9210	19881208
	IL 88644	A1	19930513	IL 1988-88644	19881209
	CA 1334095	A1	19950124	CA 1988-585519	19881209
	HU 53101	A2	19900928	HU 1988-6399	19881212
	HU 204267	B	19911230		
	GB 2213816	A1	19890823	GB 1988-29164	19881214
	GB 2213816	B2	19910508		
	EP 361629	A2	19900404	EP 1989-202801	19881214
	EP 361629	A3	19900613		
	EP 361629	B1	19940615		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
	AT 67200	E	19910915	AT 1988-311802	19881214
	ES 2051867	T3	19940701	ES 1988-311802	19881214
	ES 2053959	T3	19940801	ES 1989-202801	19881214
	DK 8807104	A	19890625	DK 1988-7104	19881220
	FI 8805917	A	19890625	FI 1988-5917	19881221
	FI 95031	B	19950831		
	FI 95031	C	19951211		
	JP 01203365	A2	19890816	JP 1988-324701	19881222
	JP 2588265	B2	19970305		
	KR 9709588	B1	19970614	KR 1988-17218	19881222
	ZA 8905052	A	19900829	ZA 1989-5052	19890703
	US 4983600	A	19910108	US 1989-421920	19891016
	GB 2225574	A1	19900606	GB 1989-25464	19891110
	GB 2225574	B2	19910424		
	US 5106843	A	19920421	US 1989-453000	19891219
	DK 9300827	A	19930708	DK 1993-827	19930708
PRAI	GB 1987-30193	A	19871224		
	GB 1988-19728	A	19880819		
	EP 1988-311802	P	19881214		
	GB 1988-29164	A3	19881214		
	US 1988-288732	B2	19881222		
	US 1989-421920	A3	19891016		

OS CASREACT 112:118671

GI



II

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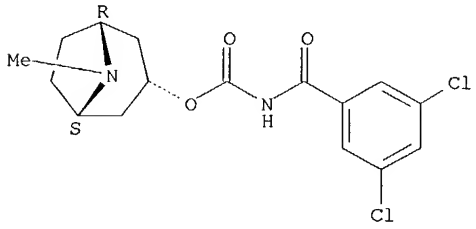
AB AXMHC(:W)YB [I; A = aryl groups Q1-Q4; B = 3-quinuclidinyl, 4 general saturated (bridged) azacyclic rings; R1 = H, ≥1 of alkyl, alkoxy, halo, etc.; W = O, S; X = bond, CO; Y = NH, O; Z1Z2 = CH2CH, NR2CH, OCH, SCH, CH2N, ON, NR2N, etc.; R2 = H, alkyl, (un)substituted Ph, phenylalkyl; Z3Z4 = CH:CH, OCH2, N:CH; Z5 = N, CH; Z6 = O, S, NH] were prepared Thus, 3,5-Cl2C6H3NCO were stirred overnight with (R)-3-aminoquinuclidine (preparation given) in PhMe to give title compound (R)-(+)-II which gave 69 and 90% increases in 2 measures of mouse exploratory behavior, resp., at 0.1 mg/kg s.c.

IT **124808-45-3P 124808-68-0P 124809-05-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin antagonist)

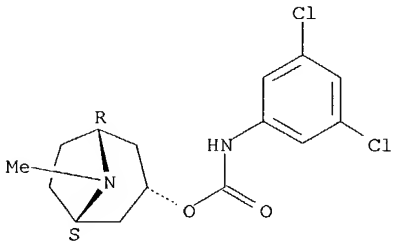
RN 124808-45-3 CAPLUS
CN Carbamic acid, (3,5-dichlorobenzoyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



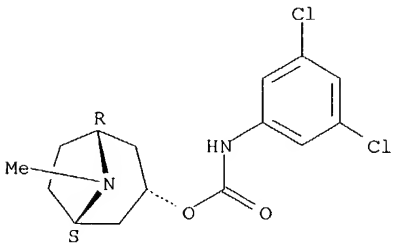
RN 124808-68-0 CAPLUS
CN Carbamic acid, (3,5-dichlorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 124809-05-8 CAPLUS
CN Carbamic acid, (3,5-dichlorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



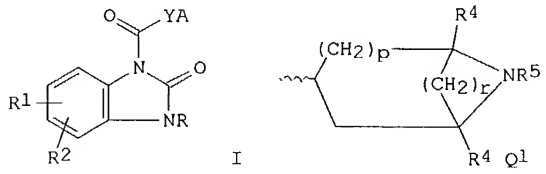
● HCl

10718403

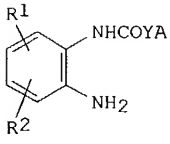
L4 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:594763 CAPLUS
DN 111:194763
TI Benzimidazoline-2-oxo-1-carboxylic acid derivatives useful as serotonin receptor antagonists
IN Turconi, Marco; Donetti, Arturo; Micheletti, Rosamaria; Uberti, Annamaria; Nicola, Massimo; Giachetti, Antonio
PA Istituto De Angeli S.p.A., Italy
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

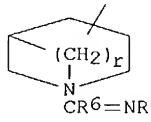
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 309423	A2	19890329	EP 1988-830375	19880919
	EP 309423	A3	19891129		
	EP 309423	B1	19940615		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	PL 151434	B1	19900928	PL 1988-274751	19880919
	DD 285354	A5	19901212	DD 1988-319929	19880919
	PL 152951	B1	19910228	PL 1988-279346	19880919
	IL 87795	A1	19930221	IL 1988-87795	19880919
	ES 2054872	T3	19940816	ES 1988-830375	19880919
	JP 01106882	A2	19890424	JP 1988-236179	19880920
	JP 06031225	B4	19940427		
	CA 1337347	A1	19951017	CA 1988-577840	19880920
	AU 8822378	A1	19890323	AU 1988-22378	19880921
	AU 610040	B2	19910509		
	DK 8805261	A	19890324	DK 1988-5261	19880922
	DK 172226	B1	19980112		
	FI 8804350	A	19890324	FI 1988-4350	19880922
	FI 89920	B	19930831		
	FI 89920	C	19931210		
	NO 8804202	A	19890328	NO 1988-4202	19880922
	NO 169286	B	19920224		
	NO 169286	C	19920603		
	HU 48250	A2	19890529	HU 1988-4970	19880922
	HU 200770	B	19900828		
	ZA 8807083	A	19900530	ZA 1988-7083	19880922
	SU 1676451	A3	19910907	SU 1988-4356601	19880922
	CZ 279864	B6	19950712	CZ 1988-6307	19880922
	SK 278812	B6	19980304	SK 1988-6307	19880922
	LV 11035	B	19960820	LV 1995-33	19950217
PRAI	IT 1987-21997		19870923		

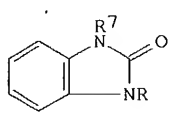
OS MARPAT 111:194763
GI



I



II




III

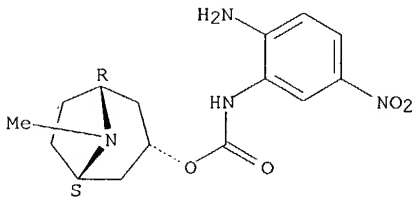
AB Title compds. I [R = H, C1-6 alkyl, C1-6 alkynyl; R1,R2 = H, halo, CF3, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 acyl, CO2H, C1-6 alkoxycarbonyl, OH, NO2, (mono- or di- C1-4 alkyl-substituted)NH2, C1-6 acylamino, C1-6 alkoxycarbonylamino, (N-mono- or di- C1-4 alkyl-substituted) carbamoyl, (N-mono- or di- C1-4 alkyl-substituted)aminosulfonylamino; Y = O, NR3; R3 = H, C1-6 alkyl, C1-6 alkoxy-substituted PhCH2; A = 1-azabicyclo[2.2.2]octanyl, 1-azabicyclo[3.3.1]nonan-4-yl, Q1,Q2; p = 0 or 1; r = 0-3; R4 = H, C1-4

alkyl; R3 = H, C1-6 alkyl, C3-8 cycloalkyl, C3-8 cycloalkyl-C1-4 alkyl, (substituted)phenyl-C1-4 alkyl; R5 = H, C1-4 alkyl, NH2; R6 = H, C1-6 alkyl] are prepared from 1,2-phenylenediamines II, benzimidazoles III (R7 = metal), or III (R7 = COX; X = leaving group). Treatment of 2,3-dihydro-2-oxo-1H-benzimidazole-1-carbonyl chloride with endo-8-Me-8-azabicyclo[3.2.1]octan-3-amine in THF gave I [R = R1 = R2 = H; YA = endo-8-Me-8-azabicyclo[3.2.1]oct-3-ylamino]. The latter showed ED50 s' of 0.3 μg/kg i.v. and 0.4 μg/kg i.v. for bradycardia and hypotension in 5-HT-treated rats, resp. Tablets were formulated containing I 250, lactose 270, corn starch 76, and Mg stearate 4 mg.

IT **123259-34-7P 123259-35-8P 123259-36-9P**
123259-37-0P 123259-38-1P 123259-39-2P
123259-41-6P 123259-42-7P 123259-43-8P
123259-44-9P 123259-45-0P 123259-46-1P
123259-47-2P 123259-48-3P 123259-49-4P
123259-50-7P 123259-52-9P 123259-54-1P
123259-55-2P 123259-56-3P 123259-57-4P
123259-58-5P 123259-59-6P 123259-60-9P
123259-61-0P 123279-48-1P 123279-49-2P
123279-51-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of serotonin antagonists)

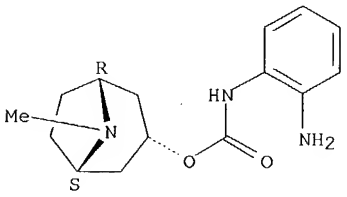
RN 123259-34-7 CAPLUS
CN Carbamic acid, (2-amino-5-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



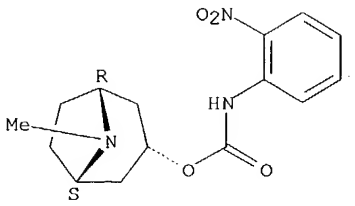
RN 123259-35-8 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 123259-36-9 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

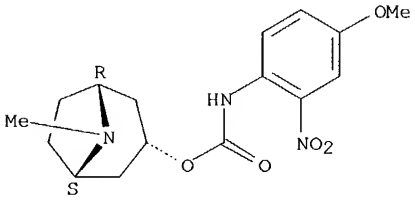
Relative stereochemistry.



● HCl

RN 123259-37-0 CAPLUS
CN Carbamic acid, (4-methoxy-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

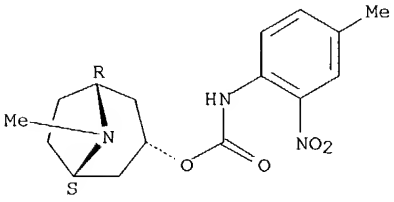
Relative stereochemistry.



● HCl

RN 123259-38-1 CAPLUS
CN Carbamic acid, (4-methyl-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

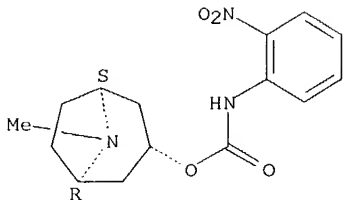
Relative stereochemistry.



● HCl

RN 123259-39-2 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, exo- (9CI) (CA INDEX NAME)

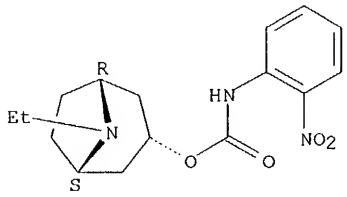
Relative stereochemistry.



● HCl

RN 123259-41-6 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-ethyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

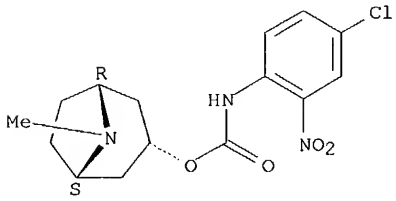
Relative stereochemistry.



● HCl

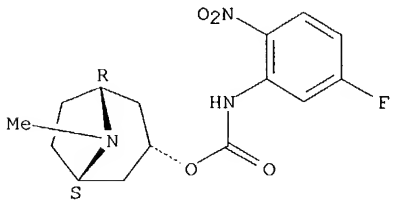
RN 123259-42-7 CAPLUS
CN Carbamic acid, (4-chloro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 123259-43-8 CAPLUS
CN Carbamic acid, (5-fluoro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

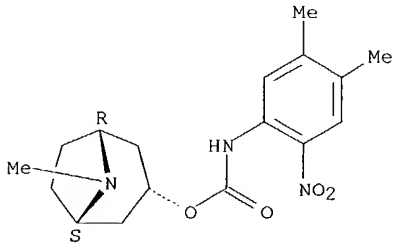
Relative stereochemistry.



● HCl

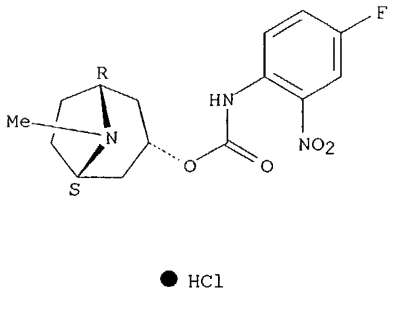
RN 123259-44-9 CAPLUS
CN Carbamic acid, (4,5-dimethyl-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



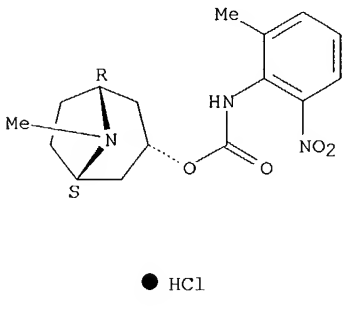
RN 123259-45-0 CAPLUS
CN Carbamic acid, (4-fluoro-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



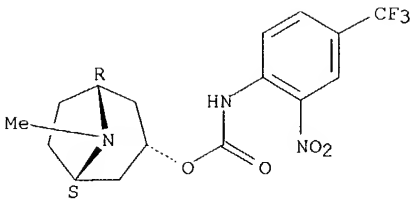
RN 123259-46-1 CAPLUS
CN Carbamic acid, (2-methyl-6-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



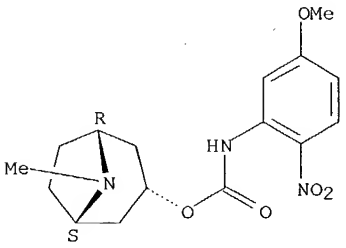
RN 123259-47-2 CAPLUS
CN Carbamic acid, [2-nitro-4-(trifluoromethyl)phenyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



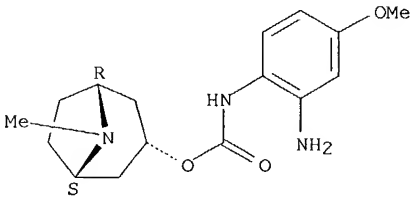
RN 123259-48-3 CAPLUS
CN Carbamic acid, (5-methoxy-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



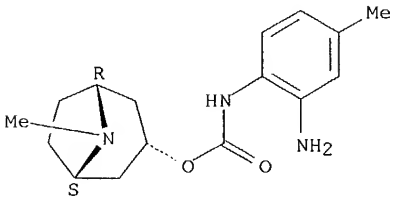
RN 123259-49-4 CAPLUS
CN Carbamic acid, (2-amino-4-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



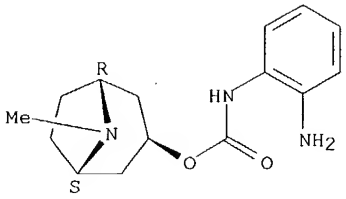
RN 123259-50-7 CAPLUS
CN Carbamic acid, (2-amino-4-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



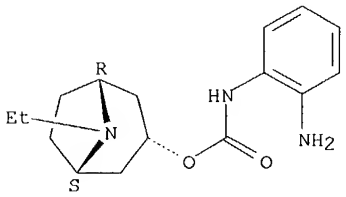
RN 123259-52-9 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



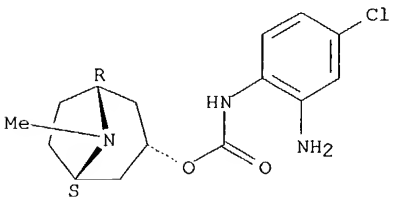
RN 123259-54-1 CAPLUS
CN Carbamic acid, (2-aminophenyl)-, 8-ethyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



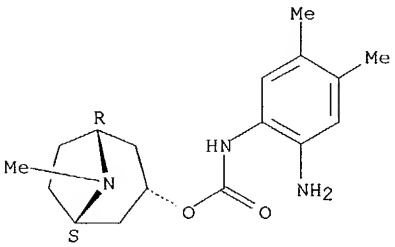
RN 123259-55-2 CAPLUS
CN Carbamic acid, (2-amino-4-chlorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



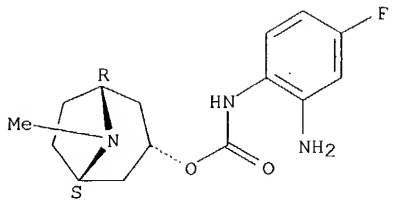
RN 123259-56-3 CAPLUS
CN Carbamic acid, (2-amino-4,5-dimethylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



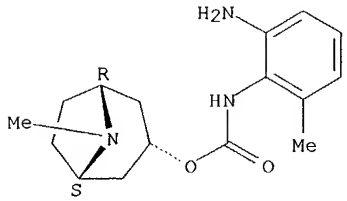
RN 123259-57-4 CAPLUS
CN Carbamic acid, (2-amino-4-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



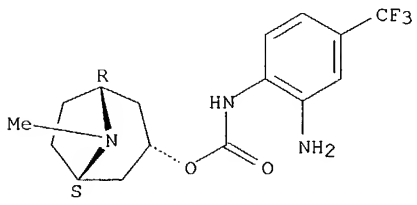
RN 123259-58-5 CAPLUS
CN Carbamic acid, (2-amino-6-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



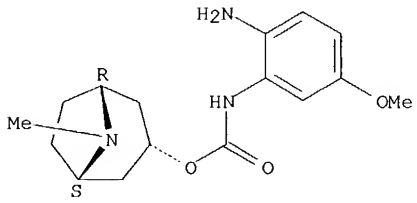
RN 123259-59-6 CAPLUS
CN Carbamic acid, [2-amino-4-(trifluoromethyl)phenyl]-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



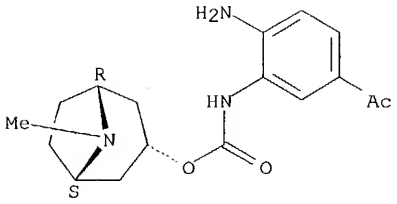
RN 123259-60-9 CAPLUS
CN Carbamic acid, (2-amino-5-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



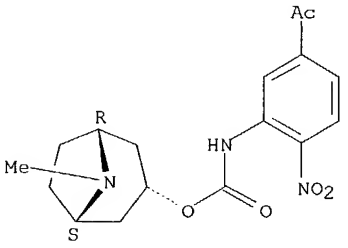
RN 123259-61-0 CAPLUS
CN Carbamic acid, (5-acetyl-2-aminophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



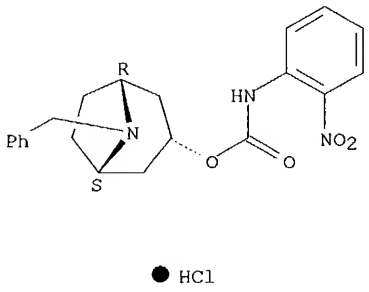
RN 123279-48-1 CAPLUS
CN Carbamic acid, (5-acetyl-2-nitrophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



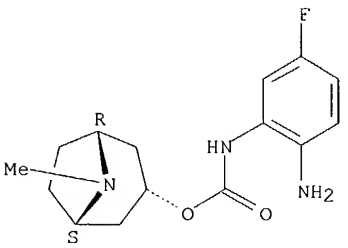
RN 123279-49-2 CAPLUS
CN Carbamic acid, (2-nitrophenyl)-, 8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 123279-51-6 CAPLUS
CN Carbamic acid, (2-amino-5-fluorophenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



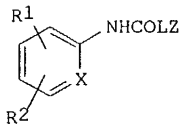
L4 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

10718403

AN 1988:473321 CAPLUS
DN 109:73321
TI Preparation of 8-methyl-8-azabicyclo[3.2.1]octylureas as 5-HT antagonists
IN King, Francis David
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 235878	A2	19870909	EP 1987-300192	19870109
	EP 235878	A3	19890614		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8700177	A	19870717	DK 1987-177	19870114
	AU 8767567	A1	19870723	AU 1987-67567	19870114
	AU 603350	B2	19901115		
	JP 62209077	A2	19870914	JP 1987-5224	19870114
	US 4797387	A	19890110	US 1987-3222	19870114
	ZA 8700274	A	19871125	ZA 1987-274	19870115
PRAI	GB 1986-978		19860116		
	GB 1986-26042		19861031		

GI



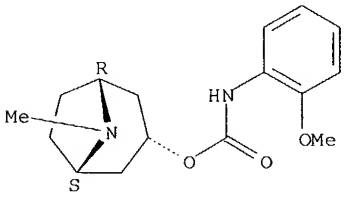
I

AB Title compds. I [R1, R2 = H, halo, F3C, C1-6 alkyl, -alkoxy, -alkylthio, C1-7 acyl, -acylamino, HO2C, H2N, etc.; X = moiety capable of H bonding to the NH group; L = NH, O; Z = (un)substituted azabicyclooctyl] and their pharmaceutically acceptable salts, were prepared endo-9-Methyl-9-azabicyclo[3.3.1]nona-3-amine in Et2O was added to 2-MeOC6H4NCO in Et2O to give endo-N-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-N'-2-methoxyphenylurea. Similarly prepared endo-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl-N'-(2-phenoxyphenyl)urea was evaluated for antagonism of the von Bezold-Jarisch reflex evoked by 5-HT in the anesthetized rat with an ED50 of 1.8 μg/kg. i.v.

IT **114574-82-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 114574-82-2 CAPLUS
CN Carbamic acid, (2-methoxyphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

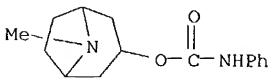
L4 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:177101 CAPLUS

10718403

DN 108:177101
TI Silver halide photographic material containing azabicycloalkane as magenta image stabilizer
IN Kaneko, Yutaka
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62297847	A2	19871225	JP 1986-142237	19860617
PRAI	JP 1986-142237		19860617		

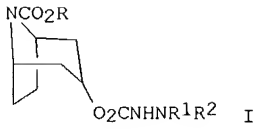
GI For diagram(s), see printed CA Issue.
AB A Ag halide photog. material contains ≥1 magenta coupler I [Z = nonmetallic group to form a N-containing heterocyclic ring which may have a substituent; X = H, group to be released upon reaction with an oxidized color developer; R = H, substituent] and ≥1 magenta image stabilizer selected from II and III [R3 = H, alkyl, aryl, heterocyclyl; R4 = halogen, alkyl, cycloalkyl, aryl, heterocyclyl, CN, OH, alkoxy, aryloxy, heterocyclyloxy, acyloxy, carbamoyloxy, amino, imido, ureido, acylamino, sulfonamido, sulfamoylamino, alkoxycarbonylamino, aryloxycarbonylamino, CO2, alkoxycarbonyl, aryloxycarbonyl; l = 0-4; m = 2, 3; n = 1, 2]. The photog. material shows excellent color reproduction and improved lightfastness and stabilization of images.
IT **114173-41-0P**
RL: PREP (Preparation)
(preparation of, magenta image stabilizer from, for silver halide photog. material)
RN 114173-41-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:579863 CAPLUS
DN 89:179863
TI Nortropine-3-carbazate-8-carboxylic acid esters
IN Mikite, Gyula; Petocz, Lujza; Kosoczky, Ibolya; Grasser, Katalin
PA E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.
SO Ger. Offen., 50 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2754735	A1	19780615	DE 1977-2754735	19771208
	US 4127576	A	19781128	US 1977-855705	19771129
	NL 7713489	A	19780612	NL 1977-13489	19771206
	SE 7713864	A	19780609	SE 1977-13864	19771207
	FI 7703691	A	19780609	FI 1977-3691	19771207
	FR 2373540	A1	19780707	FR 1977-36882	19771207
	FR 2373540	B1	19800404		
	DK 7705444	A	19780914	DK 1977-5444	19771207
	AU 7731299	A1	19790614	AU 1977-31299	19771207
	AU 511948	B2	19800911		
	AT 7708765	A	19800215	AT 1977-8765	19771207
	AT 358746	B	19800925		
	SU 906373	A3	19820215	SU 1977-2553347	19771207
	JP 53071097	A2	19780624	JP 1977-147730	19771208
	JP 57005236	B4	19820129		
	PL 106519	P	19791231	PL 1977-202752	19771208
PRAI	HU 1976-EE2463		19761208		
	HU 1977-EE2463		19770921		

GI



I

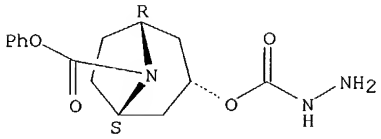
AB The title compds. I (R = C1-4 alkyl, Ph, halophenyl; R1 = H, C1-4 alkyl or hydroxyalkyl, alkoxycarbonyl, C1-4 acyl, PhO2C; R2 = H, C1-4 alkyl or acyl; R1R2 = C1-10 alkylidene) and their salts and quaternary ammonium compds. were prepared for use as narcosis potentiators (animal test data tabulated). Thus, 8-(ethoxycarbonyl)nortropine was treated with ClCO2Ph, and the product reacted with N2H4 in EtOH to give I (R = Et, R1 = R2 = H).

IT **64294-94-6P 67916-84-1P 67916-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and narcosis potentiation of)

RN 64294-94-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, endo- (9CI) (CA INDEX NAME)

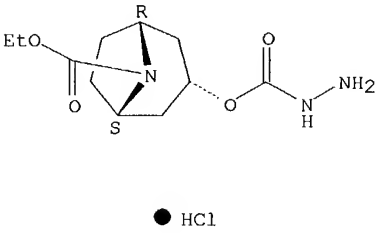
Relative stereochemistry.



RN 67916-84-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, ethyl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

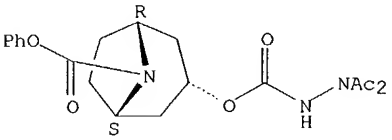
Relative stereochemistry.



RN 67916-95-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[(2,2-diacetylhydrazino)carbonyl]oxy]-, phenyl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

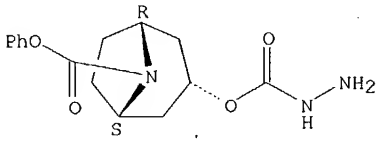


IT **67916-87-4P 67916-88-5P 67916-89-6P**
67916-90-9P 67916-91-0P 67916-92-1P
67916-93-2P 67916-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67916-87-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

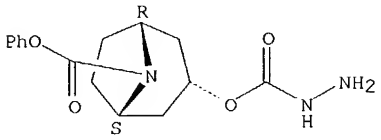
Relative stereochemistry.



● HCl

RN 67916-88-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, monohydrobromide, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



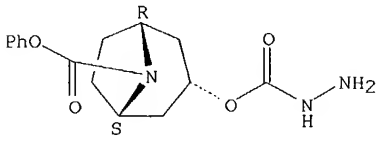
● HBr

RN 67916-89-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, endo-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

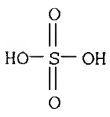
CRN 64294-94-6
CMF C15 H19 N3 O4

Relative stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S



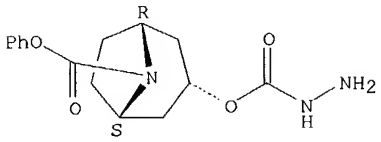
RN 67916-90-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, endo-, phosphate (1:1) (9CI) (CA INDEX NAME)

10718403

CM 1

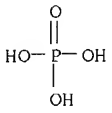
CRN 64294-94-6
CMF C15 H19 N3 O4

Relative stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

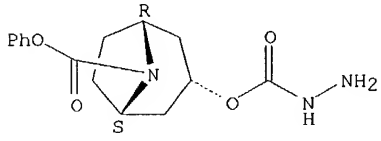


RN 67916-91-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[(hydrazinocarbonyl)oxy]-, phenyl ester, endo-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

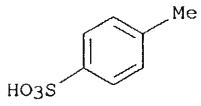
CRN 64294-94-6
CMF C15 H19 N3 O4

Relative stereochemistry.



CM 2

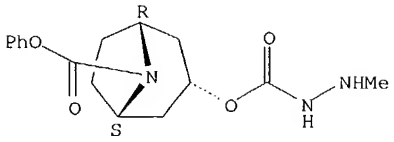
CRN 104-15-4
CMF C7 H8 O3 S



RN 67916-92-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[(2-methylhydrazino)carbonyl]oxy]-, phenyl ester, endo- (9CI) (CA INDEX NAME)

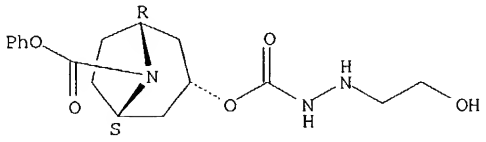
Relative stereochemistry.

10718403



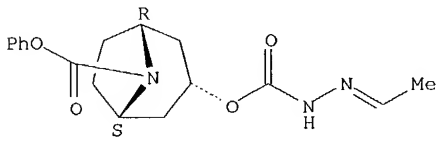
RN 67916-93-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[[2-(2-hydroxyethyl)hydrazino]carbonyl]oxy]-, phenyl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

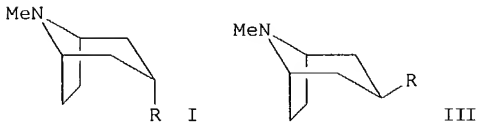


RN 67916-94-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[(ethylidenehydrazino)carbonyl]oxy]-, phenyl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



L4 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:579407 CAPLUS
DN 89:179407
TI Mass spectrometric investigations of stereoisomeric 3-substituted tropane derivatives
AU Gruetzmacher, H. F.; Lange, G.
CS Fak. Chem., Univ. Bielefeld, Bielefeld, Fed. Rep. Ger.
SO Recent Dev. Mass Spectrom. Biochem. Med., [Proc. Int. Symp.], 4th (1978), Meeting Date 1977, Volume 1, 395-404. Editor(s): Frigerio, Alberto. Publisher: Plenum, New York, N. Y.
CODEN: 38XPAL
DT Conference
LA English
GI

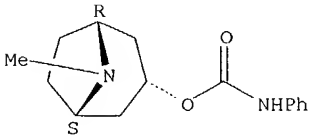


AB Mass spectral fragmentations of I (R = OH, Cl, Br, OMe, AcO) and of II (R = OPh, OSO2Me, O2CNHMe, O2CNHPh, OCSNHPh) correlated with their ease of solvolytic elimination reactions.
IT **29364-16-7 29364-21-4 67139-52-0**
67139-53-1
RL: PRP (Properties)
(mass spectrum of, stereochem. in relation to)

10718403

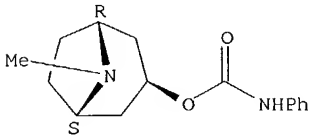
RN 29364-16-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



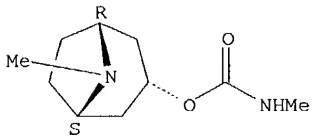
RN 29364-21-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



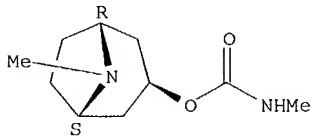
RN 67139-52-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, methylcarbamate (ester), endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

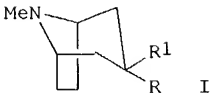


RN 67139-53-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, methylcarbamate (ester), exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:507078 CAPLUS
DN 89:107078
TI Mechanism of mass spectrometric fragmentation reactions. XX. Investigation of a synchronous or two step fragmentation of molecular ions of 3-substituted tropanes
AU Gruetzmacher, Hans F.; Lange, Gerda
CS Fak. Chem., Univ. Bielefeld, Bielefeld, Fed. Rep. Ger.
SO Chemische Berichte (1978), 111(5), 1962-77
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
GI



I

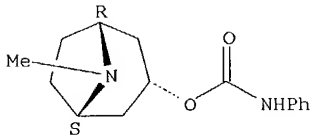
AB The fragmentation of mol. ions of I (R = OH, R1 = H; R = H, R1 = OH; R = Cl, R1 = H; R = H, R1 = Cl; etc.) was studied.

IT **29364-16-7 29364-21-4 67139-52-0 67139-53-1**
RL: PRP (Properties)
(ion-kinetic-energy mass spectra of)

RN 29364-16-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), (3-endo)- (9CI) (CA INDEX NAME)

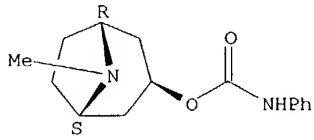
Relative stereochemistry.



RN 29364-21-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), exo- (9CI) (CA INDEX NAME)

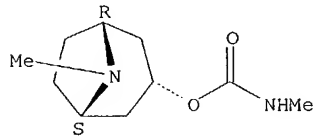
Relative stereochemistry.



RN 67139-52-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, methylcarbamate (ester), endo- (9CI) (CA INDEX NAME)

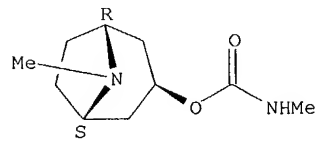
Relative stereochemistry.



RN 67139-53-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, methylcarbamate (ester), exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:488487 CAPLUS
DN 75:88487
TI Basic carbamates
IN Kraft, Helmut
PA Knoll A.-G. Chemische Fabriken
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

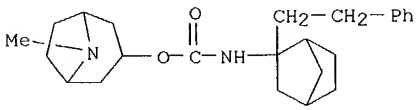
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1959365	A	19710603	DE 1969-1959365	19691126
	DE 1959365	C3	19790104		
	GB 1272337	A	19720426	GB 1970-1272337	19701020
	IL 35571	A1	19740314	IL 1970-35571	19701102
	NL 7016572	A	19710528	NL 1970-16572	19701112
	NL 166466	B	19810316		
	NL 166466	C	19810817		
	CH 538453	A	19730815	CH 1970-16882	19701113
	FR 2073414	A1	19711001	FR 1970-41404	19701118
	FR 2073414	A5	19711001		
	US 3740405	A	19730619	US 1970-92517	19701124
	AT 303754	B	19721211	AT 1970-10646	19701125
	JP 49000831	B4	19740110	JP 1970-104001	19701125
	SE 370393	B	19741014	SE 1970-15963	19701125
	CS 158286	P	19741015	CS 1970-7953	19701125
	CA 945992	A1	19740423	CA 1970-99224	19701126
PRAI	DE 1969-1959365		19691126		

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are prepared and have spasmolytic, anticholinergic, broncholytic and nicotinolytic activity. A mixture of 0.1 mole 2-phenylbicyclo[2.2.1]heptane-2-carbonyl chloride, 0.11 mole NaN3, and anhydrous PhMe is refluxed 20 hr, cooled, filtered and refluxed 5 hr with 0.11 mole HO(CH2)2NMe2 to yield 73% 2-(dimethylamino)ethyl N-[2-(2-phenylbicyclo[2.2.1]heptyl)]carbamate. Some 15 I (n is 0, 1, or 2 and various A, R1 and R2) are given.

IT **33243-04-8P 33243-05-9P 33243-24-2P**
33243-30-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

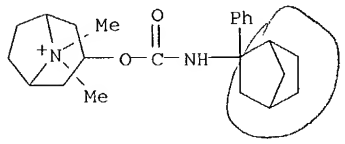
RN 33243-04-8 CAPLUS
CN 2-Norbornanecarbamic acid, 2-phenethyl-, 1αH,5αH-tropan-3α-yl ester (8CI) (CA INDEX NAME)



RN 33243-05-9 CAPLUS
CN 1αH,5αH-Tropanium, 3-hydroxy-8-methyl-, methyl sulfate, 2-phenyl-2-norbornanecarbamate (8CI) (CA INDEX NAME)

CM 1

CRN 50566-29-5
CMF C23 H33 N2 O2

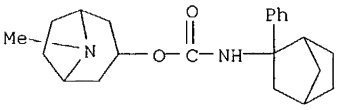


CM 2

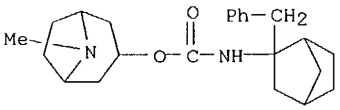
10718403

CRN 21228-90-0
CMF C H3 O4 S

RN 33243-24-2 CAPLUS
CN 4αH,5αH-Tropan-3α-ol, 2-phenyl-2-norbornanecarbamate (ester) (8CI) (CA INDEX NAME)

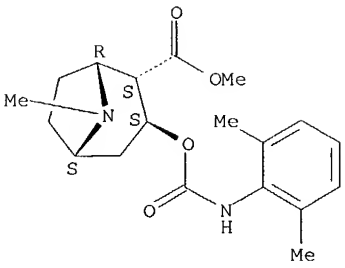


RN 33243-30-0 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, 2-benzyl-2-norbornanecarbamate (ester) (8CI) (CA INDEX NAME)



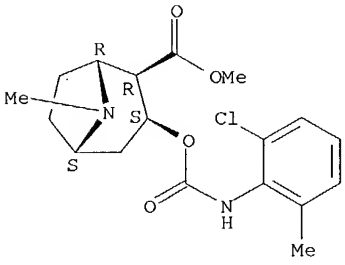
L4 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1970:464632 CAPLUS
DN 73:64632
TI Carbanilic acid esters of cyclic amino alcohols. III. Esters of ecgonine, tropine, and some related bicyclic alcohols as local anesthetics
AU Nilsson, J. Lars G.; Dahlbom, Richard; Akerman, Bengt
CS Dep. Org. Chem., Farm. Fak., Stockholm, Swed.
SO Acta Pharmaceutica Suecica (1970), 7(3), 239-46
CODEN: APSXAS; ISSN: 0001-6675
DT Journal
LA English
AB A number of carbanilic acid esters of ecgonine methyl ester, pseudoecgonine methyl ester, tropine, pseudotropine, 3α-granatanol, and 3-quinuclidinol were prepared and tested for local anesthetic activity. Primary screening data reveal that some of the compds. have very high activity.
IT **26390-04-5 26390-09-0 26399-95-1**
29364-08-7 29364-09-8 29364-10-1
29364-12-3 29364-13-4 29364-15-6
29364-16-7 29364-17-8 29364-18-9
29364-19-0 29364-20-3 29364-21-4
RL: PROC (Process)
(local anesthetic action of)
RN 26390-04-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(2,6-dimethylphenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(2-endo,3-exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



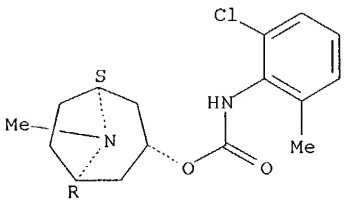
RN 26390-09-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[[(2-chloro-6-methylphenyl)amino]carbonyl]oxy]-8-methyl-, methyl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



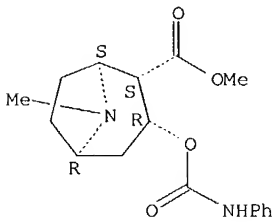
RN 26399-95-1 CAPLUS
CN Carbamic acid, (2-chloro-6-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 29364-08-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 8-methyl-3-[[(phenylamino)carbonyl]oxy]-, methyl ester, (exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

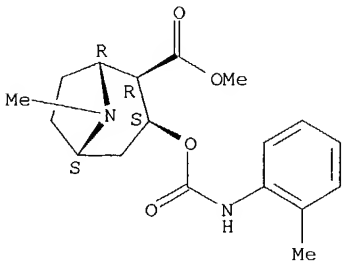


RN 29364-09-8 CAPLUS

10718403

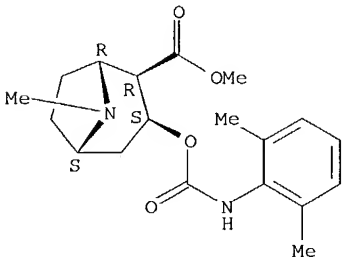
CN 1αH,5αH-Tropane-2β-carboxylic acid, 3β-hydroxy-, methyl ester, o-methylcarbanilate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



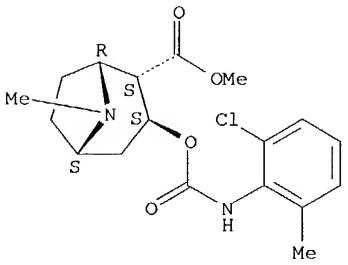
RN 29364-10-1 CAPLUS
CN 1αH,5αH-Tropane-2β-carboxylic acid, 3β-hydroxy-, methyl ester, 2,6-dimethylcarbanilate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 29364-12-3 CAPLUS
CN 1αH,5αH-Tropane-2α-carboxylic acid, 3β-hydroxy-, methyl ester, 2-chloro-6-methylcarbanilate (ester), monohydrochloride (8CI) (CA INDEX NAME)

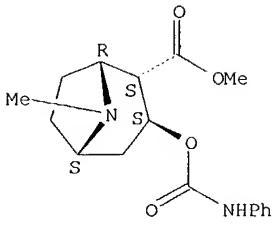
Absolute stereochemistry.



● HCl

RN 29364-13-4 CAPLUS
CN 1αH,5αH-Tropane-2α-carboxylic acid, 3β-hydroxy-, methyl ester, carbanilate (ester), monohydrochloride (8CI) (CA INDEX NAME)

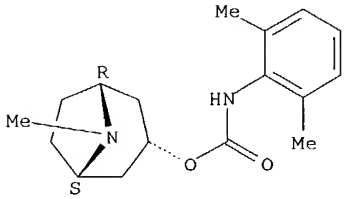
Absolute stereochemistry.



● HCl

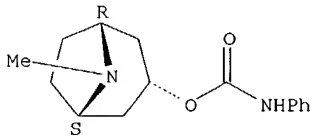
RN 29364-15-6 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, 2,6-dimethylcarbanilate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



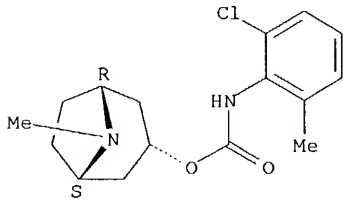
RN 29364-16-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 29364-17-8 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, 2-chloro-6-methylcarbanilate (ester), monohydrochloride (8CI) (CA INDEX NAME)

Relative stereochemistry.

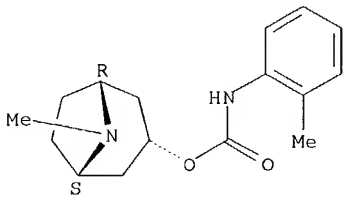


● HCl

RN 29364-18-9 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, o-methylcarbanilate (ester) (8CI) (CA INDEX NAME)

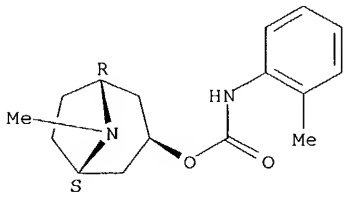
10718403

Relative stereochemistry.



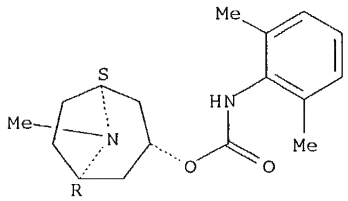
RN 29364-19-0 CAPLUS
CN 1αH,5αH-Tropan-3β-ol, o-methylcarbanilate (ester) (8CI)
(CA INDEX NAME)

Relative stereochemistry.



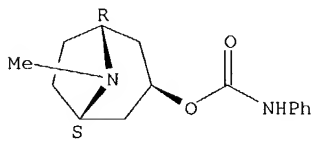
RN 29364-20-3 CAPLUS
CN 1αH,5αH-Tropan-3β-ol, 2,6-dimethylcarbanilate (ester)
(8CI) (CA INDEX NAME)

Relative stereochemistry.



RN 29364-21-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-methyl-, phenylcarbamate (ester), exo-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

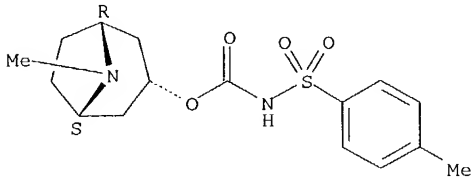


L4 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1969:512774 CAPLUS
DN 71:112774
TI Derivatives of 2-azabicyclo[2.2.2]octane. III. Substituted
phenylsulfonylureido derivatives
AU Villani, Frank J.; Wefer, Elizabeth A.; Mann, Thomas A.; Ellis, Claire A.
CS Med. Chem. Res. Dep., Schering Corp., Bloomfield, NJ, USA
SO Journal of Medicinal Chemistry (1969), 12, 933-4
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal

10718403

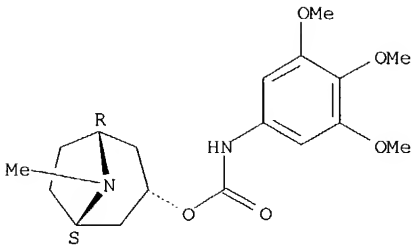
LA English
GI For diagram(s), see printed CA Issue.
AB Isoquinuclidines (I) (n = 0 and 1 and R = Me or a benzyl group) are prepared and tested for hypoglycemic potency in mice. 2-[3-(p-Chlorophenylsulfonyl)-ureido]isoquinuclidine (II) is the most potent compound in normal mice; II is more potent than I (X = Cl, n = 0) in mice with diazoxide-induced hyperglycemia.
IT **23979-31-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23979-31-9 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, (p-tolylsulfonyl)carbamate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



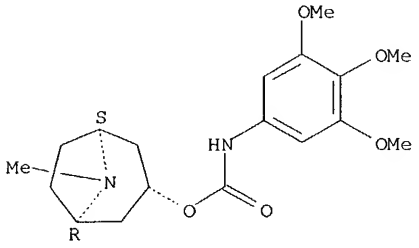
L4 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1967:464199 CAPLUS
DN 67:64199
TI 3,4,5-Trimethoxyphenylcarbamic acid esters of some cyclic amino alcohols
AU Dahlbom, Richard; Karlen, Bo; Nilsson, Lars
CS Kungl. Farm. Inst., Stockholm, Swed.
SO Acta Pharmaceutica Suecica (1967), 4(3), 211-16
CODEN: APSXAS; ISSN: 0001-6675
DT Journal
LA English
AB Ten 3,4,5-trimethoxyphenyl-carbamic acid esters of cyclic amino alcs. were prepared by the Curtius rearrangement. Thus, a solution of 0.02 mole 3,4,5-trimethoxybenzoyl azide and 0.03 mole amino alc. in dry benzene was refluxes 2 hrs. Quaternary ammonium salts were prepared by dissolving 0.015 mole amino ester in 20 ml. acetone and adding 5 ml. MeBr. The mixture was kept overnight at room temperature I prepared are (R1, m.p., and % yield given): N-methyl-3-piperidyl, 99-100°, 46 [MeBr salt, m. 234-5° (decomposition)], 88; N-ethyl-3-piperidyl, 81-2.5° [50, MeBr salt m. 187-8° (decomposition)], 69; N-methyl-4-piperidyl, 142-3.5°, 64 [MeBr salt m.p. 183.5-84° (decomposition)] 95; 1-azabicyclo[2.2.2]oct-3-yl, 173-4°, 78; 2-methyl-2-azabicyclo[1.3.2]oct-5-yl(axial), 175-7°, 77; 2-methyl-2-azabicyclo[1.3.2]oct-5-yl(equatorial) II, 215-16°, 91; 2-methyl-2-azabicyclo[1.3.3]non-5-yl(axial), 126-7°; 64; 4-methyl-2,5-methano-2H-furo[3,2-b]pyrrol-6-yl (IIa), 142.5-44°, 57; 2-methyl-4-carbomethoxy-2-azabicyclo[1.3.2]oct-5-yl(axial CO2Me) (III), 164-5°, 73; 2-methyl-4-carbomethoxy-2-azabicyclo[1.3.2]oct-5-yl(equatorial). The new compds. were tested in mice and only II and III showed some local anesthetic activity. 12 references.
IT **15436-53-0P 15436-54-1P 15436-57-4P**
15436-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15436-53-0 CAPLUS
CN 1αH,5αH-Tropan-3α-ol, 3,4,5-trimethoxycarbanilate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



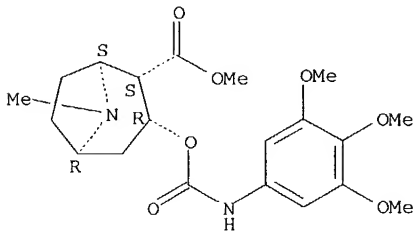
RN 15436-54-1 CAPLUS
CN 1αH,5αH-Tropan-3β-ol, 3,4,5-trimethoxycarbanilate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



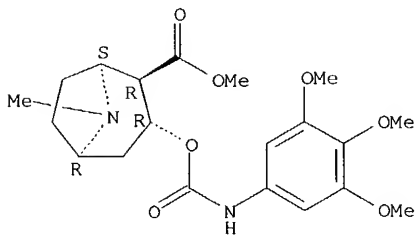
RN 15436-57-4 CAPLUS
CN 1αH,5αH-Tropane-2β-carboxylic acid, 3β-hydroxy-, methyl ester, 3,4,5-trimethoxycarbanilate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



RN 15436-58-5 CAPLUS
CN 1αH,5αH-Tropane-2α-carboxylic acid, 3β-hydroxy-, methyl ester, 3,4,5-trimethoxycarbanilate (ester) (8CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1964:60820 CAPLUS
DN 60:60820

10718403

OREF 60:10654e-g
TI N-Acyl derivatives of nortropan-3α(and β)-ol and its esters
IN Nador, Karoly
PA Egyesult Gyogyszer es Tapszergyar
SO 3 pp.
DT Patent
LA Unavailable

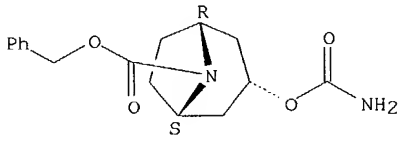
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 149486		19620430	HU	19600509

AB Nortropan-3α (and β)-ol and its derivs. were treated with RO2CCl or ROCSCl in the presence of bases to yield N-acyl derivs. These blocking groups could easily be removed, e.g. by catalytic hydrogenation or with AcOH-HBr. Thus, PhO2CCl (90%, 19 g.) and 4.2 g. NaOH in 25 ml. H2O were added dropwise simultaneously with stirring to a solution of 14.9 g. nortropine carbamate (I) in 100 ml. H2O at 15° to give 80% the N-carbobenzoxy derivative, m. 124° (C6H6). CH2:CHCH2O2CCl (6.1 g.) and 2.1 g. NaOH in H2O were added simultaneously as above to a solution of 7.5 g. I in H2O to give 82.6% N-carbonylallyloxynortropan-3α-ol, m. 51°. The following derivs. were prepared similarly: N-p-chlorobenzyloxycarbonylnortropan-3α-ol, m. 112°; N-carbobenzoxynortropan-3β-ol, m. 79°; N-(butylthioformyl)nortropan-3α-ol, b0.05 150-2°, N-carbobenzoxynoratropine, m. 113°; N-(p-chlorobenzyloxycarbonyl)norscopolamine, m. 107°.

IT **98174-14-2**, 8-Nortropanecarboxylic acid, 3α-hydroxy-, benzyl ester, carbamate
(preparation of)

RN 98174-14-2 CAPLUS
CN 8-Nortropanecarboxylic acid, 3α-hydroxy-, benzyl ester, carbamate (7CI) (CA INDEX NAME)

Relative stereochemistry.



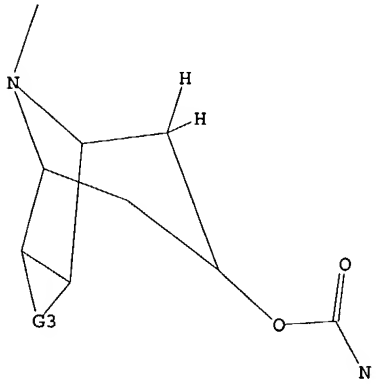
10718403

(FILE 'HOME' ENTERED AT 18:50:28 ON 23 JUN 2004)

FILE 'REGISTRY' ENTERED AT 18:50:44 ON 23 JUN 2004
L1 STRUCTURE UPLOADED
L2 0 S L1

FILE 'BEILSTEIN' ENTERED AT 19:42:58 ON 23 JUN 2004
L3 0 S L1
L4 3 S L1 SSS FULL

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1

G2 Me,Et,F

G3 C,O

10718403

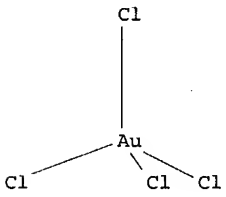
d all 1-3

L4 ANSWER 1 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	3868236
Chemical Name (CN):	phenyl-carbamic acid-(6,7-epoxy-tropan-3-ylester); carbanilic acid ester of pseudoscopine; tetrachloroaurate (III)
Lin. Struct. Formula (LSF):	C15H18N2O3*AuCl4(1-)*H(1+)
Fragm. Molec. Formula (FMF):	C15 H18 N2 O3 , Au Cl4 , H
Molecular Formula (MF):	C15 H18 N2 O3 . Au Cl4 . H
Molecular Weight (MW):	274.32, 338.78, 1.01
Fragment BRN (FBRN):	25666, 3903473, 3902898
Lawson Number (LN):	30994, 14131, 2817, 1762
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	3500162
Tautomer ID (TAUTID):	3719715
Beilstein Citation (BSO):	2-27-00-00065
Entry Date (DED):	1991/02/26
Update Date (DUPD):	1992/09/10

CM 1

FBRN 3903473
FMF Au Cl4



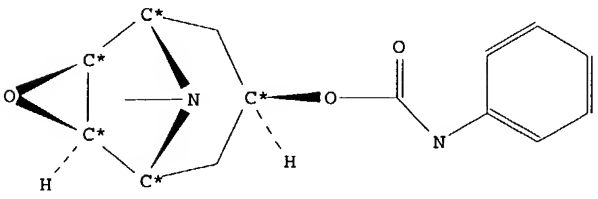
Fragment Notes:
Unknown location for Localized Charge of (-1)

CM 2

FBRN 3902898
FMF H

CM 3

FBRN 25666
FMF C15 H18 N2 O3



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
LSF	Linearized Structure Formula	1
FMF	Fragment Molecular Formula	3

10718403

MF	Molecular Formula	1
FW	Formular Weight	3
FBRN	Fragment BRN	3
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1

Melting Point:

Value (MP) (Cel)	Ref.	Note
210	1	1

Reference(s):
1. Polonovski; Polonovski, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 186, <1928>, 148, 149, Bull.Soc.Chim.Fr., CODEN: BSCFAS, <4> 43, <1928>, 596, 598

Notes(s):
1. Handbook

L4 ANSWER 2 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

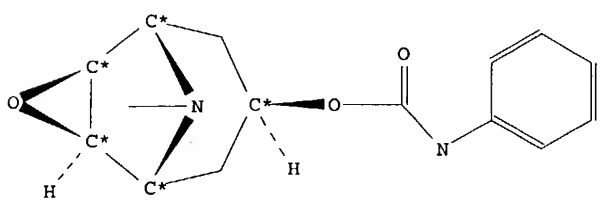
Beilstein Records (BRN):	3758412
Chemical Name (CN):	phenyl-carbamic acid-(6,7-epoxy-tropan-3-ylester); carbanilic acid ester of pseudoscopine; hydrochloride
Fragm. Molec. Formula (FMF):	C15 H18 N2 O3 , Cl H
Molecular Formula (MF):	C15 H18 N2 O3 . Cl H
Molecular Weight (MW):	274.32, 36.46
Fragment BRN (FBRN):	25666, 1098214
Lawson Number (LN):	30994, 14131, 2817, 1762
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	3340079
Tautomer ID (TAUTID):	3608782
Beilstein Citation (BSO):	2-27-00-00065
Entry Date (DED):	1991/02/26
Update Date (DUPD):	1991/02/26

CM 1

FBRN 1098214
FMF Cl H

CM 2

FBRN 25666
FMF C15 H18 N2 O3



Field Availability:

10718403

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
FMF	Fragment Molecular Formula	2
MF	Molecular Formula	1
FW	Formular Weight	2
FBRN	Fragment BRN	2
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1

Melting Point:

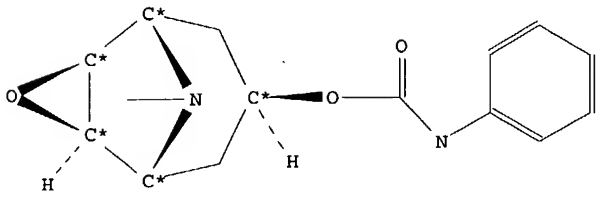
Value (MP) (Cel)	Solvent (.SOL)	Ref.	Note
244	ethanol, acetone	1	1

Reference(s):
1. Polonovski; Polonovski, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 186, <1928>, 148, 149, Bull.Soc.Chim.Fr., CODEN: BSCFAS, <4> 43, <1928>, 596, 598

Notes(s):
1. Handbook

L4 ANSWER 3 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	25666
Chemical Name (CN):	phenyl-carbamic acid-(6,7-epoxy-tropan-3-yl ester); carbanilic acid ester of pseudoscopine
Autonom Name (AUN):	phenyl-carbamic acid 9-methyl-3-oxa-9-aza-tricyclo<3.3.1.02,4>non-7-yl ester
Molec. Formula (MF):	C15 H18 N2 O3
Molecular Weight (MW):	274.32
Lawson Number (LN):	30994, 14131, 2817, 1762
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	21373
Tautomer ID (TAUTID):	38581
Beilstein Citation (BSO):	2-27-00-00065
Entry Date (DED):	1988/06/27
Update Date (DUPD):	1988/06/30



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1

10718403

FW	Formular Weight	1
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
CPD	Crystal Property Description	1
MP	Melting Point	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Crystal Property Description:
CPD

(CPD):	Prismen
Note(s) (.COM):	Handbook

Reference(s):
1. Polonovski; Polonovski, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 186, <1928>, 148, 149, Bull.Soc.Chim.Fr., CODEN: BSCFAS, <4> 43, <1928>, 596, 598

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.	Note
229	aq. ethanol	1	1

Reference(s):
1. Polonovski; Polonovski, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 186, <1928>, 148, 149, Bull.Soc.Chim.Fr., CODEN: BSCFAS, <4> 43, <1928>, 596, 598

Notes(s):
1. Handbook

Reaction:
RX

Reaction ID (.ID):	5517108
Reactant BRN (.RBRN):	471391
Reactant (.RCT):	pseudoscopine, isocyanatobenzene
Product BRN (.PBRN):	25666
Product (.PRO):	phenyl-carbamic acid-(6,7-epoxy-tropan-3-yl ester); carbanilic acid ester of pseudoscopine
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	5517108.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	benzene
Temperature (.T):	100 Cel
Other Conditions (.COND):	im Rohr
Note(s) (.COM):	Handbook

Reference(s):
1. Polonovski; Polonovski, C.R.Hebd.Seances Acad.Sci., CODEN: COREAF, 186, <1928>, 148, 149, Bull.Soc.Chim.Fr., CODEN: BSCFAS, <4> 43, <1928>, 596, 598